

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG 13	CA/CAPplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/CAPplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	7	AUG 27	USPATOLD now available on STN
NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/CAPplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	Caplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	16	OCT 19	BEILSTEIN updated with new compounds
NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV 19	WPIX enhanced with XML display format
NEWS	19	NOV 30	ICSD reloaded with enhancements
NEWS	20	DEC 04	LINPADOCDB now available on STN
NEWS	21	DEC 14	BEILSTEIN pricing structure to change
NEWS	22	DEC 17	USPATOLD added to additional database clusters
NEWS	23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	24	DEC 17	DGENE now includes more than 10 million sequences
NEWS	25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	26	DEC 17	MEDLINE and LMEMLINE updated with 2008 MeSH vocabulary
NEWS	27	DEC 17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	29	JAN 02	STN pricing information for 2008 now available
NEWS EXPRESS	19	SEPTEMBER 2007:	CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability

10542169.trn

NEWS LOGIN      Welcome Banner and News Items  
NEWS IPC8        For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 07:29:54 ON 07 JAN 2008

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 07:30:13 ON 07 JAN 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES:    6 JAN 2008    HIGHEST RN 960045-19-6  
DICTIONARY FILE UPDATES:   6 JAN 2008    HIGHEST RN 960045-19-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

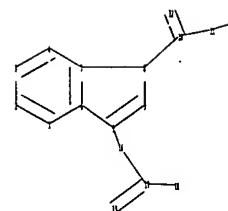
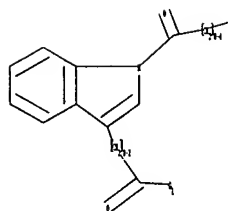
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10542169.str



chain nodes :  
 10 11 12 13 16 17 18 19  
 ring nodes :  
 1 2 3 4 5 6 7 8 9  
 chain bonds :  
 5-16 8-10 10-11 10-12 11-13 16-17 17-18 17-19  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9  
 exact/norm bonds :  
 7-8 8-9 8-10 10-12 17-18 17-19  
 exact bonds :  
 5-6 5-9 5-16 10-11 11-13 16-17  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-7 6-7  
 isolated ring systems :  
 containing 1 :

G1:CH,O,N

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

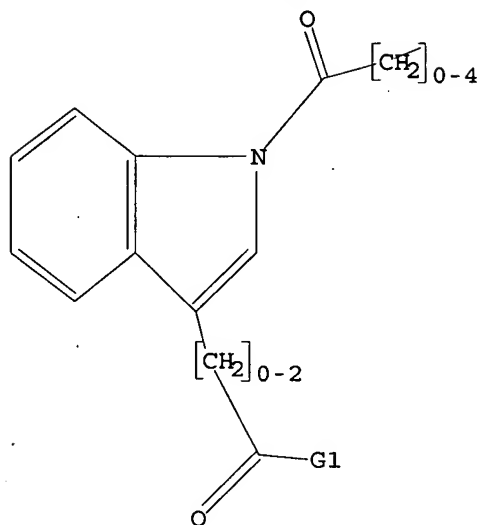
L1 STRUCTURE UPLOADED

10542169.trn

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 CH,O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 07:30:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 721 TO ITERATE

100.0% PROCESSED 721 ITERATIONS

34 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 12810 TO 16030

PROJECTED ANSWERS: 331 TO 1029

L2 34 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 07:30:39 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 13810 TO ITERATE

100.0% PROCESSED 13810 ITERATIONS

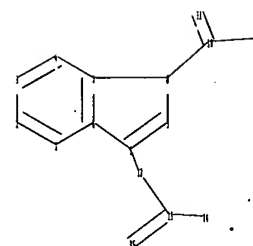
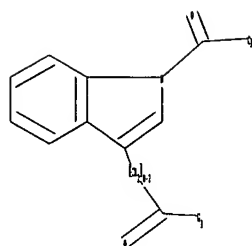
SEARCH TIME: 00.00.01

502 ANSWERS

L3 502 SEA SSS FUL L1

=>

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chain nodes :  
 10 11 12 13 14 15 20  
 ring nodes :  
 1 2 3 4 5 6 7 8 9  
 chain bonds :  
 5-12 8-10 10-11 10-20 12-13 13-14 13-15  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9  
 exact/norm bonds :  
 7-8 8-9 8-10 10-11 10-20 13-14 13-15  
 exact bonds :  
 5-6 5-9 5-12 12-13  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-7 6-7  
 isolated ring systems :  
 containing 1 :

G1:CH,O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS

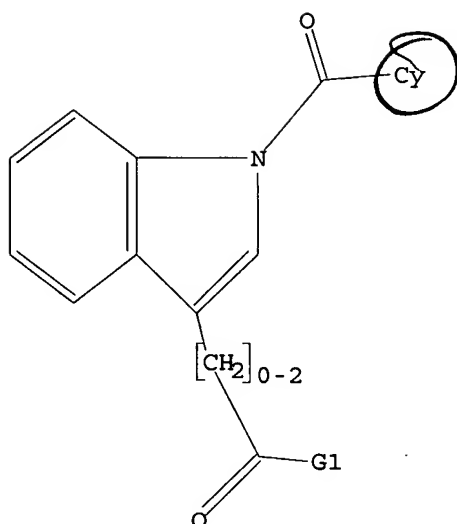
L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR

10542169.trn



G1 CH,O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l4

SAMPLE SEARCH INITIATED 07:34:51 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 961 TO ITERATE

100.0% PROCESSED 961 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 17361 TO 21079

PROJECTED ANSWERS: 2973 TO 4627

L5 50 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 07:35:04 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 18894 TO ITERATE

100.0% PROCESSED 18894 ITERATIONS

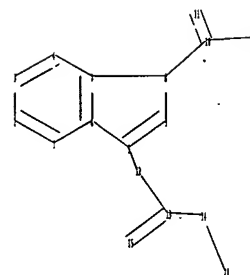
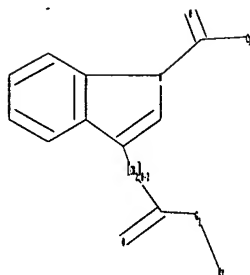
3642 ANSWERS

SEARCH TIME: 00.00.01

L6 3642 SEA SSS FUL L4

=>

Uploading C:\Program Files\Stnexp\Queries\10542169b.str



```

chain nodes* :
10 11 12 13 14 15 20 21
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
5-12 8-10 10-11 10-20 12-13 13-14 13-15 14-21
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
7-8 8-9 8-10 10-11 10-20 13-14 13-15 14-21
exact bonds :
5-6 5-9 5-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

```

G1:CH,O,N

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS 21:Atom

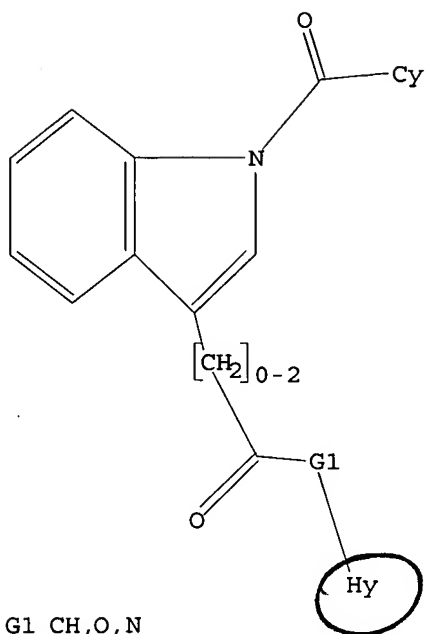
```

L7 STRUCTURE UPLOADED

```

=> d 17
L7 HAS NO ANSWERS
L7 STR

```



Structure attributes must be viewed using STN Express query preparation.

=> s l7

SAMPLE SEARCH INITIATED 07:36:56 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 961 TO ITERATE

100.0% PROCESSED 961 ITERATIONS  
 SEARCH TIME: 00.00.01

11 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 17361 TO 21079  
 PROJECTED ANSWERS: 22 TO 418

L8 11 SEA SSS SAM L7

=> s l7 sss full

FULL SEARCH INITIATED 07:37:03 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 18894 TO ITERATE

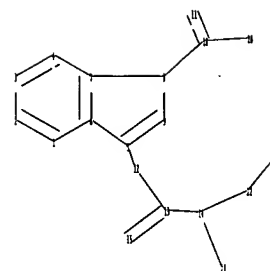
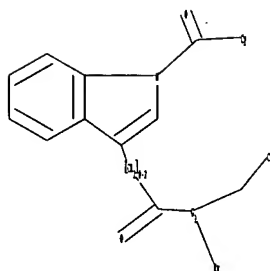
100.0% PROCESSED 18894 ITERATIONS  
 SEARCH TIME: 00.00.01

215 ANSWERS

L9 215 SEA SSS FUL L7

=>

Uploading C:\Program Files\Stnexp\Queries\10542169c.str



```

chain nodes :
10 11 12 13 14 15 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
5-12 8-10 10-11 10-20 12-13 13-14 13-15 14-21 14-22 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
7-8 8-9 8-10 10-11 10-20 13-14 13-15 14-21 14-22
exact bonds :
5-6 5-9 5-12 12-13 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

```

G1:CH,O,N

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS 21:Atom 22:CLASS
23:Atom

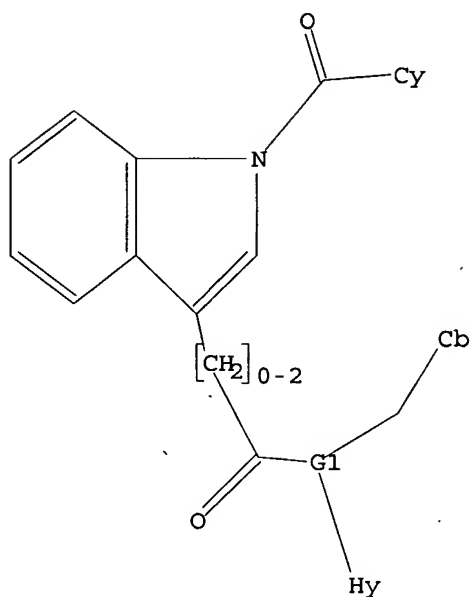
```

L10 STRUCTURE UPLOADED

```

=> d l10
L10 HAS NO ANSWERS
L10 STR

```



G1 CH,O,N

Structure attributes must be viewed using STN Express query preparation.

=&gt; s l10

SAMPLE SEARCH INITIATED 07:39:54 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 961 TO ITERATE

100.0% PROCESSED 961 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 17361 TO 21079

PROJECTED ANSWERS: 3 TO 163

L11 3 SEA SSS SAM L10

=&gt; s l10 sss full

FULL SEARCH INITIATED 07:40:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 18863 TO ITERATE

100.0% PROCESSED 18863 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

L12 14 SEA SSS FUL L10

=&gt; FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

718.96

719.17

FILE 'HCAPLUS' ENTERED AT 07:40:06 ON 07 JAN 2008

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FILE COVERS 1907 - 7 Jan 2008 VOL 148 ISS 2  
FILE LAST UPDATED: 6 Jan 2008 (20080106/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 07:29:54 ON 07 JAN 2008)

FILE 'REGISTRY' ENTERED AT 07:30:13 ON 07 JAN 2008

L1	STRUCTURE UPLOADED
L2	34 S L1
L3	502 S L1 SSS FULL
L4	STRUCTURE UPLOADED
L5	50 S L4
L6	<del>3642 S L4 SSS FULL</del>
L7	STRUCTURE UPLOADED
L8	11 S L7
L9	<del>215 S L7 SSS FULL</del>
L10	STRUCTURE UPLOADED
L11	3 S L10
L12	14 S L10 SSS FULL

FILE 'HCAPLUS' ENTERED AT 07:40:06 ON 07 JAN 2008

=> s l6

L13 16343 L6

=> s l9

L14 113 L9

=> s l12

L15 1 L12

=> s l14 and ophthalmic

11855 OPHTHALMIC

52 OPHTHALMICS

11876 OPHTHALMIC

(OPHTHALMIC OR OPHTHALMICS)

L16 2 L14 AND OPHTHALMIC

=> s l14 and ocular hypertension

19018 OCULAR

22 OCULARS

10542169.trn

19036 OCULAR  
(OCULAR OR OCULARS)  
91866 HYPERTENSION  
106 HYPERTENSIONS  
91887 HYPERTENSION  
(HYPERTENSION OR HYPERTENSIONS)  
933 OCULAR HYPERTENSION  
(OCULAR (W) HYPERTENSION)

L17 1 L14 AND OCULAR HYPERTENSION

---

=> s l14 and hypertension  
91866 HYPERTENSION  
106 HYPERTENSIONS  
91887 HYPERTENSION  
(HYPERTENSION OR HYPERTENSIONS)

L18 1 L14 AND HYPERTENSION

---

=> s l14 and py<=2003  
23975204 PY<=2003  
L19 87 L14 AND PY<=2003

=> s l19 and p/dt  
6030773 P/DT  
L20 44 L19 AND P/DT

=> s l20 and us/pc  
1756160 US/PC  
L21 23 L20 AND US/PC

=> s l21 and treating  
455033 TREATING  
1 TREATINGS  
455034 TREATING  
(TREATING OR TREATINGS)

L22 4 L21 AND TREATING

---

=> s l21 and treat  
82039 TREAT  
9796 TREATS  
91334 TREAT  
(TREAT OR TREATS)

L23 1 L21 AND TREAT

---

=> s l21 and treatment  
2375898 TREATMENT  
222073 TREATMENTS  
2492988 TREATMENT  
(TREATMENT OR TREATMENTS)

L24 10 L21 AND TREATMENT

---

=> d his

(FILE 'HOME' ENTERED AT 07:29:54 ON 07 JAN 2008)

FILE 'REGISTRY' ENTERED AT 07:30:13 ON 07 JAN 2008

L1 STRUCTURE UPLOADED  
L2 34 S L1  
L3 502 S L1 SSS FULL  
L4 STRUCTURE UPLOADED  
L5 50 S L4

10542169.trn

L6 3642 S L4 SSS FULL  
L7 STRUCTURE UPLOADED  
L8 11 S L7  
L9 215 S L7 SSS FULL  
L10 STRUCTURE UPLOADED  
L11 3 S L10  
L12 14 S L10 SSS FULL

FILE 'HCAPLUS' ENTERED AT 07:40:06 ON 07 JAN 2008

L13 16343 S L6  
L14 113 S L9  
L15 1 S L12  
L16 2 S L14 AND OPHTHALMIC  
L17 1 S L14 AND OCULAR HYPERTENSION  
L18 1 S L14 AND HYPERTENSION  
L19 87 S L14 AND PY<=2003  
L20 44 S L19 AND P/DT  
L21 23 S L20 AND US/PC  
L22 4 S L21 AND TREATING  
L23 1 S L21 AND TREAT  
L24 10 S L21 AND TREATMENT

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:857325 HCAPLUS

DOCUMENT NUMBER: 141:350033

TITLE: Preparation of 5-methoxy-2-methylindole-3-acetamide  
derivs. as potassium channel blockers for treating  
ocular hypertension

INVENTOR(S): Fisher, Michael H.; Garcia, Maria L.; Kaczorowski,  
Gregory J.; Meinke, Peter T.; Parsons, William H.;  
Boyd, Edward Andrew; Price, Stephen; Stibbard, John

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Evotec Oai

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087051	A2	20041014	WO 2004-US9028	20040324
WO 2004087051	A3	20050721		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004226479	A1	20041014	AU 2004-226479	20040324
CA 2519899	A1	20041014	CA 2004-2519899	20040324
EP 1610776	A2	20060104	EP 2004-758273	20040324
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

*Inventor*

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK  
 CN 1791402 A 20060621 CN 2004-80013916 20040324  
 JP 2006524239 T 20061026 JP 2006-509260 20040324  
 US 2006069256 A1 20060330 US 2005-542169 20050713  
 IN 2005DN04100 A 20070831 IN 2005-DN4100 20050912  
 PRIORITY APPLN. INFO.: US 2003-458103P P 20030327  
 WO 2004-US9028 A 20040324  
 OTHER SOURCE(S): CASREACT 141:350033; MARPAT 141:350033  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [X = -(CHR7)p-; Y = -CO(CH2)n- or -CH(OR8)-; Q = N, CR9, or O; R1 = H, alkyl, CF3, alkoxy, OH, etc.; R2 = H, alkyl, alkylSR8, -(CH2)nO(CH2)mOR8, -(CH2)alkoxy, etc.; R3 = H, alkyl, -(CH2)ncycloalkyl, -(CH2)nheterocyclyl, or when Q = N, R2, R3 taken together with the the N form a 4-10 membered heterocyclic ring; R4, R5 = H, alkoxy, OH, alkyl, COOR8, SO3H, etc.; R6 = H, alkyl, -(CH2)(hetero)aryl, -NH(CH2)(hetero)aryl, etc.; R7 = H, alkyl, -(CH2)nCOOR8, or -(CH2)nN(R8)2; R8 = H, or alkyl; R9 = H, or alkyl; m = 0-3; n = 0-3, p = 0-1] were prepared as potent potassium channel blockers in the treatment of glaucoma and other conditions which leads to elevated intraocular pressure in the eye of a patient. For example, reaction of 1-(4-chlorobenzoyl)-5-methoxy-2-methylindole-3-acetic acid with N-cyclohexyl-N-thiazol-2-yl amine (preparation given) yielded compound II. The compds. of this invention inhibited Maxi-K Channel activity with IC50's in the range of 1 nM to 20 µM.

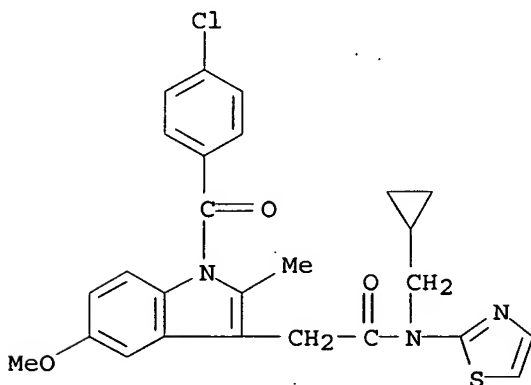
IT 773898-40-1P 773898-77-4P 773898-78-5P  
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 773898-82-1P 773898-83-2P 773898-91-2P  
 773898-92-3P 773898-93-4P 773898-94-5P  
 773898-97-8P 773898-98-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5-methoxy-2-methylindole-3-acetamide derivs. as potassium channel blockers for treating ocular hypertension)

RN 773898-40-1 HCAPLUS

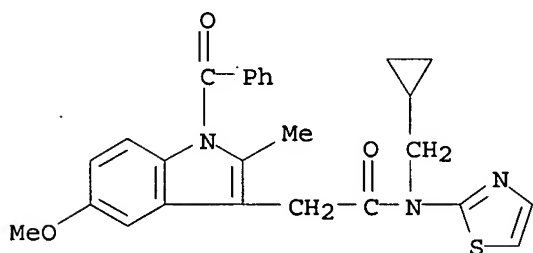
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



10542169.trn

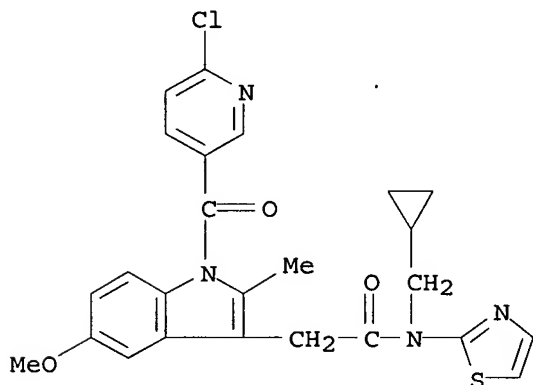
RN 773898-77-4 HCAPLUS

CN 1H-Indole-3-acetamide, 1-benzoyl-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



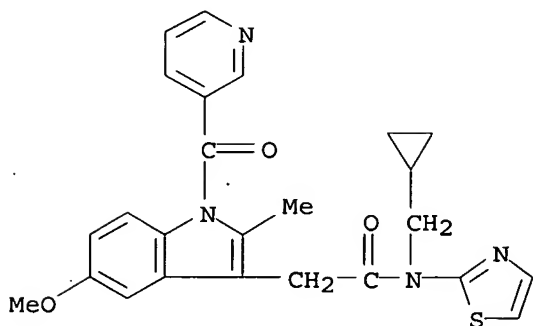
RN 773898-78-5 HCAPLUS

CN 1H-Indole-3-acetamide, 1-[(6-chloro-3-pyridinyl)carbonyl]-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



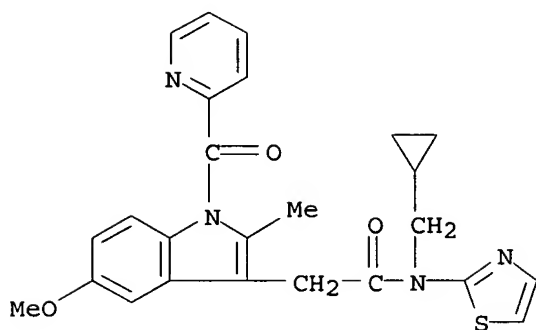
RN 773898-79-6 HCAPLUS

CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(3-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)

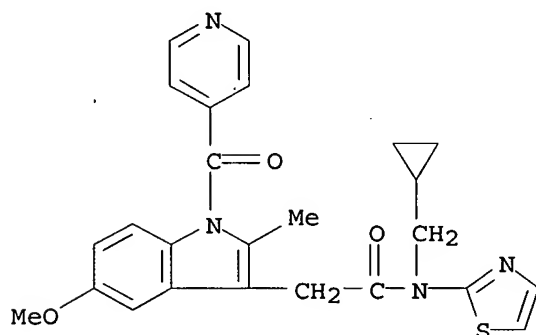


RN 773898-80-9 HCAPLUS

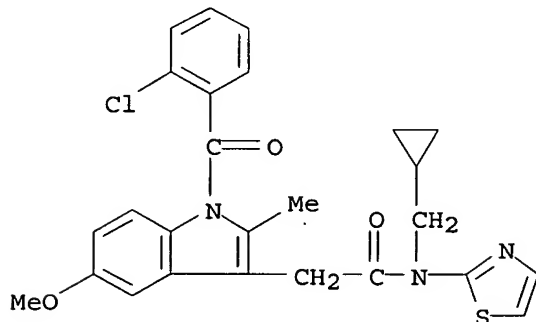
CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(2-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



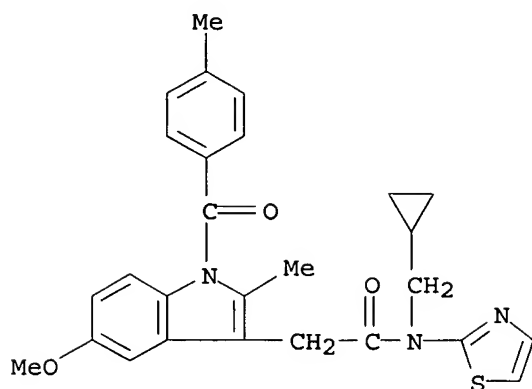
RN 773898-81-0 HCAPLUS  
 CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(4-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



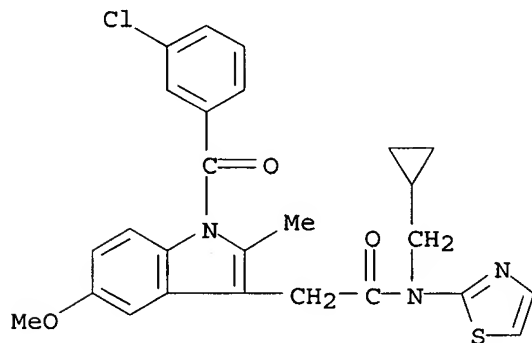
RN 773898-82-1 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(2-chlorobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



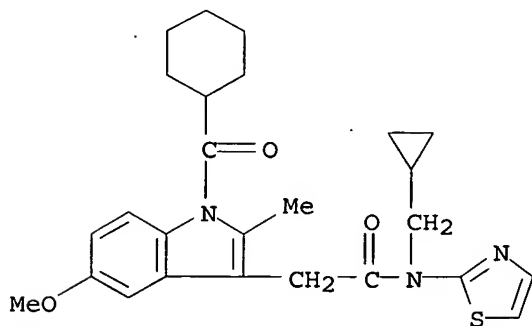
RN 773898-83-2 HCAPLUS  
 CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(4-methylbenzoyl)-N-2-thiazolyl- (CA INDEX NAME)



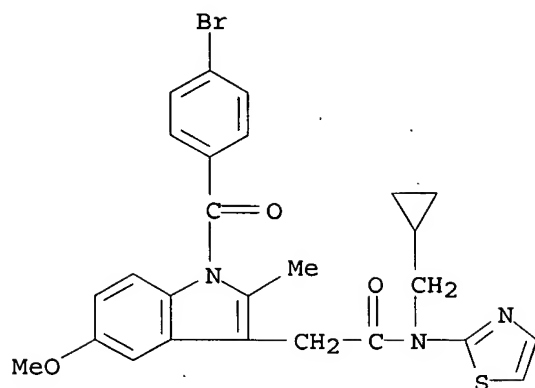
RN 773898-91-2 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(3-chlorobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-92-3 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(cyclohexylcarbonyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)

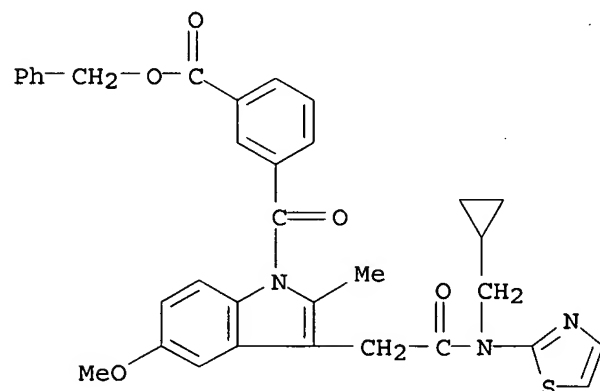


RN 773898-93-4 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-bromobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



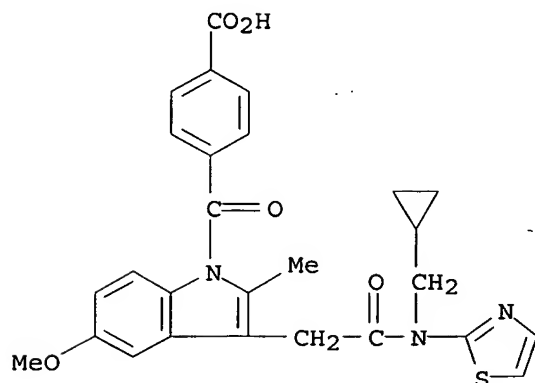
RN 773898-94-5 HCAPLUS

CN Benzoic acid, 3-[[3-[2-[(cyclopropylmethyl)-2-thiazolylamino]-2-oxoethyl]-5-methoxy-2-methyl-1H-indol-1-yl]carbonyl]-, phenylmethyl ester (CA INDEX NAME)



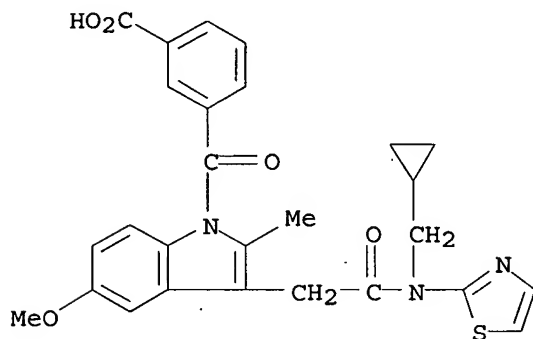
RN 773898-97-8 HCAPLUS

CN Benzoic acid, 4-[[3-[2-[(cyclopropylmethyl)-2-thiazolylamino]-2-oxoethyl]-5-methoxy-2-methyl-1H-indol-1-yl]carbonyl]- (CA INDEX NAME)



RN 773898-98-9 HCAPLUS

CN Benzoic acid, 3-[[3-[2-[(cyclopropylmethyl)-2-thiazolylamino]-2-oxoethyl]-5-methoxy-2-methyl-1H-indol-1-yl]carbonyl]- (CA INDEX NAME)



=> d l16 ibib abs hitstr tot

L16 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:721438 HCAPLUS

DOCUMENT NUMBER: 135:288343

TITLE: Preparation and activity of nitrosated and nitrosylated nonsteroidal antiinflammatory compounds  
INVENTOR(S): Bandarage, Upul K.; Dong, Qing; Fang, Xinqin; Garvey, David S.; Mercer, Gregory J.; Richardson, Stewart K.; Schroeder, Joseph D.; Wang, Tiansheng

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 182,433, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

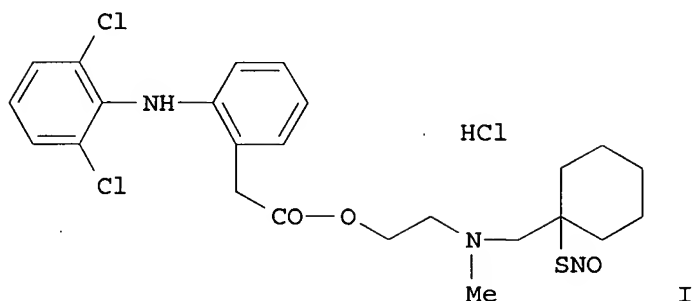
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6297260	B1	20011002	US 1999-429019	19991029
CA 2348741	A1	20000511	CA 1999-2348741	19991029
WO 2000025776	A1	20000511	WO 1999-US25481	19991029
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1126838	A1	20010829	EP 1999-958708	19991029
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002528495	T	20020903	JP 2000-579217	19991029
AU 763000	B2	20030710	AU 2000-16012	19991029
US 2002016322	A1	20020207	US 2001-938560	20010827
US 6593347	B2	20030715		

US 2003207919	A1	20031106	US 2003-431457	20030508
AU 2004200091	A1	20040205	AU 2004-200091	20040109
PRIORITY APPLN. INFO.:			US 1998-182433	B2 19981030
			AU 2000-16012	A 19991029
			US 1999-429019	A3 19991029
			WO 1999-US25481	W 19991029
			US 2001-938560	A3 20010827

OTHER SOURCE(S):                   MARPAT 135:288343  
GI

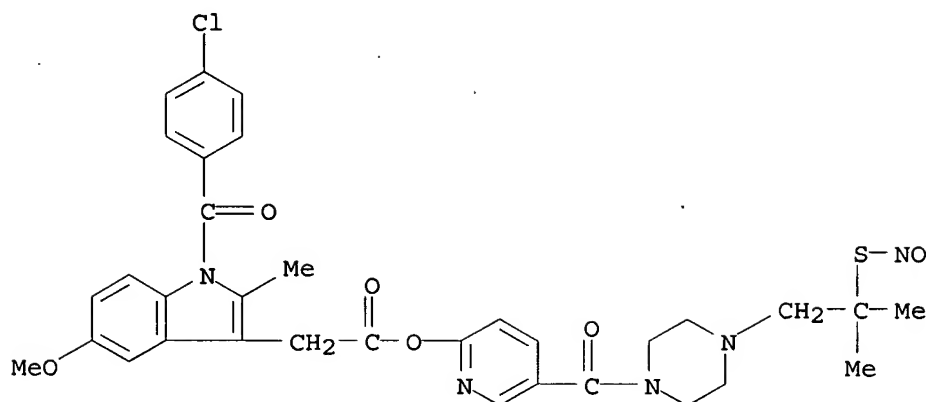


AB The present invention describes novel nitrosated and/or nitrosylated nonsteroidal antiinflammatory compds., and novel compns. comprising at least one nitrosated and/or nitrosylated nonsteroidal antiinflammatory compound, and, optionally, at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase. The present invention also provides methods for treating, preventing and/or reducing inflammation, pain, and fever; decreasing or reversing the gastrointestinal, renal and other toxicities resulting from the use of nonsteroidal antiinflammatory drugs; treating and/or preventing gastrointestinal disorders; treating inflammatory disease states and disorders; and treating and/or preventing ophthalmic diseases or disorders. Thus, I was prepared in 8 steps from cyclohexanecarboxaldehyde and shows a relative activity of 1, 1.2 and 0.02 in analgesic, antiinflammatory and gastric lesion tests.

IT 364590-30-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and activity of nitrosated and nitrosylated nonsteroidal antiinflammatory compds.)

RN 364590-30-7 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-,  
5-[[4-[2-methyl-2-(nitrosothio)propyl]-1-piperazinyl]carbonyl]-2-pyridinyl  
ester (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:858705 HCAPLUS

DOCUMENT NUMBER: 123:266118

TITLE: Codrugs as a method of controlled drug delivery  
INVENTOR(S): Ashton, Paul; Crooks, Peter Anthony; Riggs, Robert Mack; Cynkowski, Tadeusz; Cynkowska, Grazyna

PATENT ASSIGNEE(S): University of Kentucky Research Foundation, USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO..	KIND.	DATE	APPLICATION NO.	DATE
WO 9520567	A1	19950803	WO 1994-US1659	19940217
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2182228	A1	19950803	CA 1994-2182228	19940217
AU 9462545	A	19950815	AU 1994-62545	19940217
AU 705226	B2	19990520		
EP 740650	A1	19961106	EP 1994-909643	19940217
EP 740650	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509151	T	19970916	JP 1994-520023	19940217
AT 267798	T	20040615	AT 1994-909643	19940217
PT 740650	T	20041029	PT 1994-909643	19940217
ES 2222455	T3	20050201	ES 1994-909643	19940217
US 6051576	A	20000418	US 1997-791071	19970129
PRIORITY APPLN. INFO.:			US 1994-187462	A 19940128
			WO 1994-US1659	W 19940217
			US 1995-388855	B1 19950215

AB A codrug composition of at least two drug compds. covalently linked to one another via a labile bond to form a single codrug composition, and methods of use of the codrug for the treatment of various medical conditions are disclosed. The codrug may be administered by itself or as a bioerodible or nonbioerodible dosage form, such as injection, liposome, suspension, microsphere, nanoparticle, ointment, transdermal patch, etc.

IT 169046-88-2P

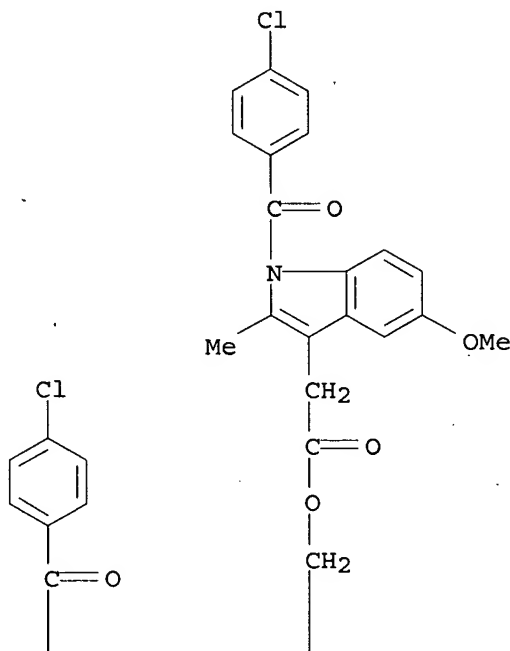
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(codrug compns. for controlled drug delivery)

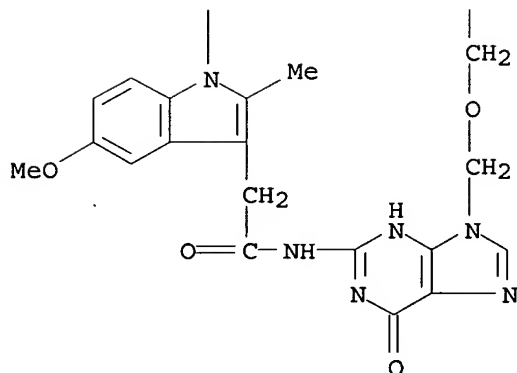
RN 169046-88-2 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-,  
2-[[2-[[[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]amino]-1,6-dihydro-6-oxo-9H-purin-9-yl]methoxy]ethyl ester (9CI)  
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A



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L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:857325 HCAPLUS

DOCUMENT NUMBER: 141:350033

TITLE: Preparation of 5-methoxy-2-methylindole-3-acetamide derivs. as potassium channel blockers for treating ocular hypertension

INVENTOR(S): Fisher, Michael H.; Garcia, Maria L.; Kaczorowski, Gregory J.; Meinke, Peter T.; Parsons, William H.; Boyd, Edward Andrew; Price, Stephen; Stibbard, John

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Evotec Oai

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087051	A2	20041014	WO 2004-US9028	20040324
WO 2004087051	A3	20050721		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004226479	A1	20041014	AU 2004-226479	20040324
CA 2519899	A1	20041014	CA 2004-2519899	20040324
EP 1610776	A2	20060104	EP 2004-758273	20040324
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
CN 1791402	A	20060621	CN 2004-80013916	20040324
JP 2006524239	T	20061026	JP 2006-509260	20040324
US 2006069256	A1	20060330	US 2005-542169	20050713
IN 2005DN04100	A	20070831	IN 2005-DN4100	20050912
PRIORITY APPLN. INFO.:			US 2003-458103P	P 20030327
			WO 2004-US9028	A 20040324
OTHER SOURCE(S):	CASREACT 141:350033; MARPAT 141:350033			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [X = -(CHR7)p-; Y = -CO(CH2)n- or -CH(OR8)-; Q = N, CR9, or O; R1 = H, alkyl, CF3, alkoxy, OH, etc.; R2 = H, alkyl, alkylSR8, -(CH2)nO(CH2)mOR8, -(CH2)alkoxy, etc.; R3 = H, alkyl, -(CH2)ncycloalkyl, -(CH2)nheterocyclyl, or when Q = N, R2, R3 taken together with the the N form a 4-10 membered heterocyclic ring; R4, R5 = H, alkoxy, OH, alkyl, COOR8, SO3H, etc.; R6 = H, alkyl, -(CH2)(hetero)aryl, -NH(CH2)(hetero)aryl, etc.; R7 = H, alkyl, -(CH2)nCOOR8, or -(CH2)nN(R8)2; R8 = H, or alkyl; R9 = H, or alkyl; m = 0-3; n = 0-3, p = 0-1] were prepared as potent potassium channel blockers in the treatment of glaucoma and

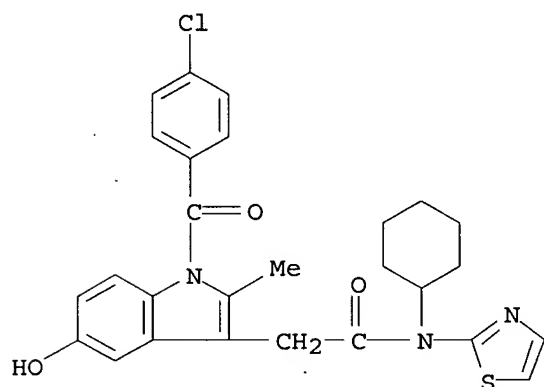
other conditions which leads to elevated intraocular pressure in the eye of a patient. For example, reaction of 1-(4-chlorobenzoyl)-5-methoxy-2-methylindole-3-acetic acid with N-cyclohexyl-N-thiazol-2-yl amine (preparation given) yielded compound II. The compds. of this invention inhibited Maxi-K Channel activity with IC50's in the range of 1 nM to 20  $\mu$ M.

IT 773898-89-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 5-methoxy-2-methylindole-3-acetamide derivs. as potassium channel blockers for treating ocular hypertension)

RN 773898-89-8 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-5-hydroxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



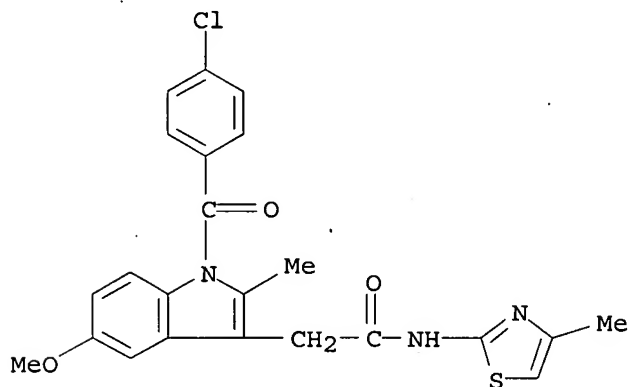
IT 282728-83-0P 732255-43-5P 773898-05-8P  
773898-10-5P 773898-14-9P 773898-15-0P  
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773898-19-4P 773898-32-1P 773898-33-2P  
773898-35-4P 773898-36-5P 773898-37-6P  
773898-38-7P 773898-39-8P 773898-40-1P  
773898-41-2P 773898-42-3P 773898-43-4P  
773898-44-5P 773898-45-6P 773898-46-7P  
773898-47-8P 773898-48-9P 773898-49-0P  
773898-50-3P 773898-51-4P 773898-52-5P  
773898-53-6P 773898-54-7P 773898-55-8P  
773898-56-9P 773898-57-0P 773898-58-1P  
773898-59-2P 773898-60-5P 773898-61-6P  
773898-62-7P 773898-63-8P 773898-65-0P  
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773898-70-7P 773898-71-8P 773898-72-9P  
773898-73-0P 773898-75-2P 773898-77-4P  
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773898-84-3P 773898-85-4P 773898-86-5P  
773898-87-6P 773898-88-7P 773898-90-1P  
773898-91-2P 773898-92-3P 773898-93-4P  
773898-94-5P 773898-97-8P 773898-98-9P  
773898-99-0P 773899-00-6P 773899-01-7P  
773899-02-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5-methoxy-2-methylindole-3-acetamide derivs. as potassium channel blockers for treating ocular hypertension)

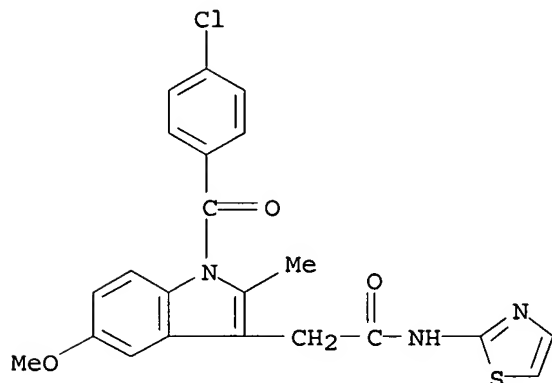
RN 282728-83-0 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(4-methyl-2-thiazolyl)- (CA INDEX NAME)



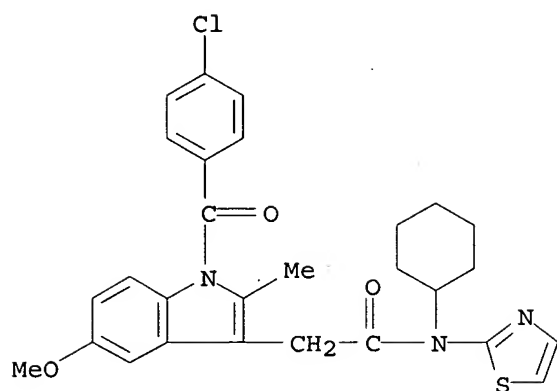
RN 732255-43-5 HCAPLUS

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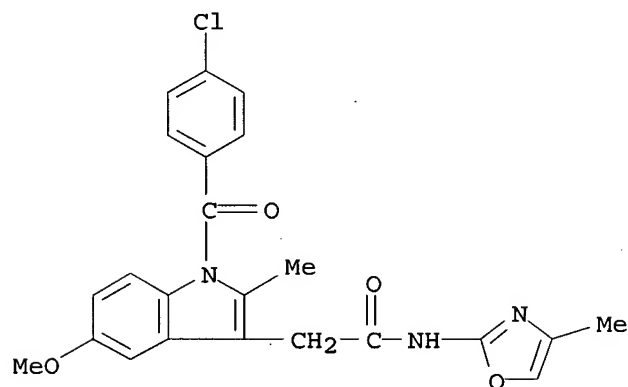
RN 773898-05-8 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



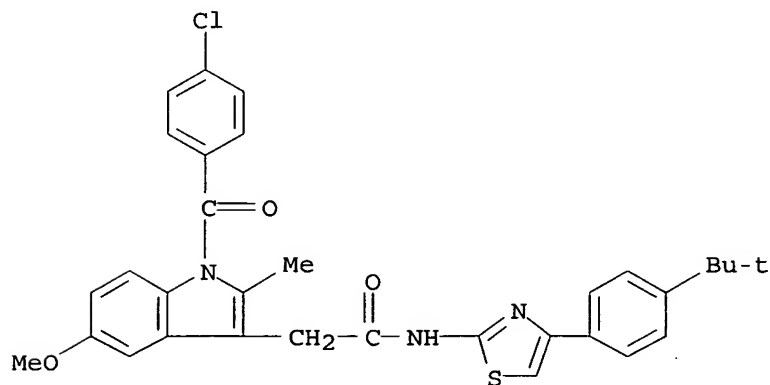
RN 773898-10-5 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(4-methyl-2-oxazolyl)- (CA INDEX NAME)



RN 773898-14-9 HCAPLUS

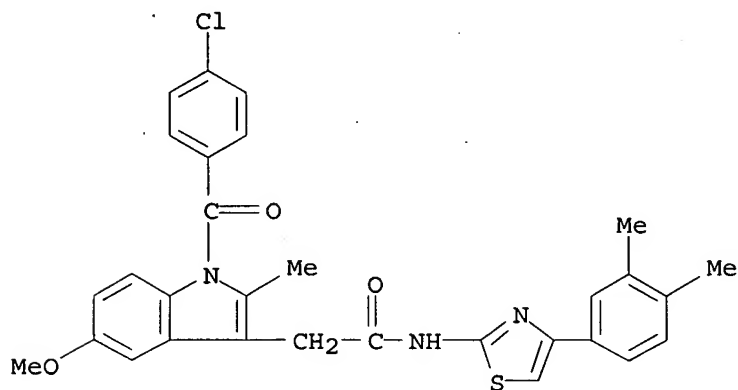
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[4-[4-(1,1-dimethylethyl)phenyl]-2-thiazolyl]-5-methoxy-2-methyl- (CA INDEX NAME)



RN 773898-15-0 HCAPLUS

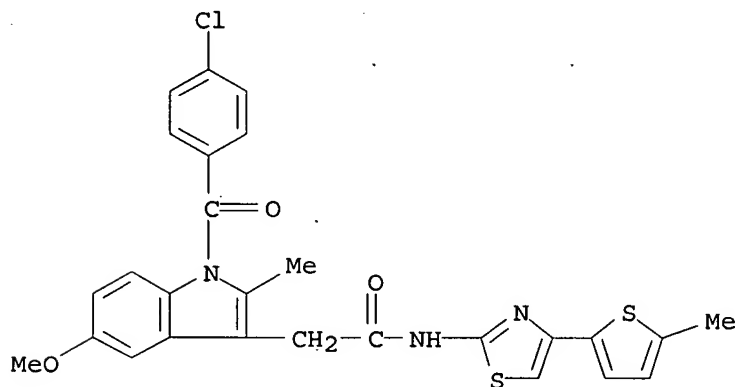
10542169.trn

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[4-(3,4-dimethylphenyl)-2-thiazolyl]-5-methoxy-2-methyl- (CA INDEX NAME)



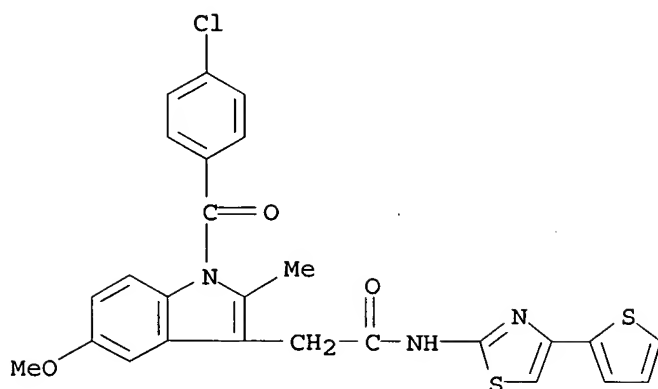
RN 773898-16-1 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[4-(5-methyl-2-thienyl)-2-thiazolyl]- (CA INDEX NAME)



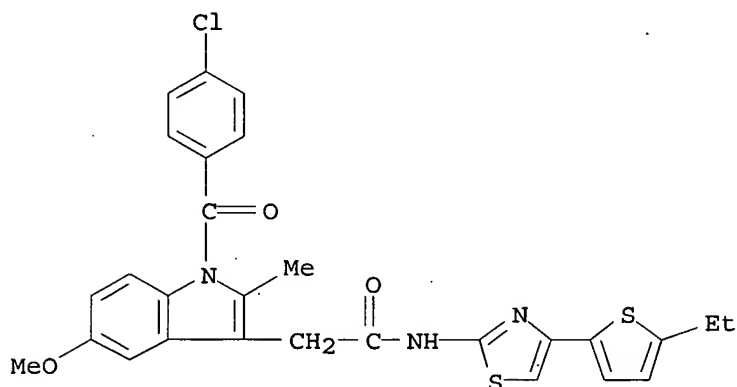
RN 773898-17-2 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[4-(2-thienyl)-2-thiazolyl]- (CA INDEX NAME)



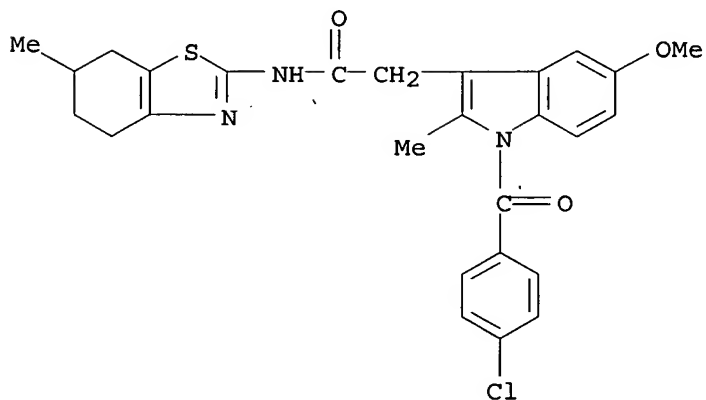
RN 773898-18-3 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[4-(5-ethyl-2-thienyl)-2-thiazolyl]-5-methoxy-2-methyl- (CA INDEX NAME)



RN 773898-19-4 HCAPLUS

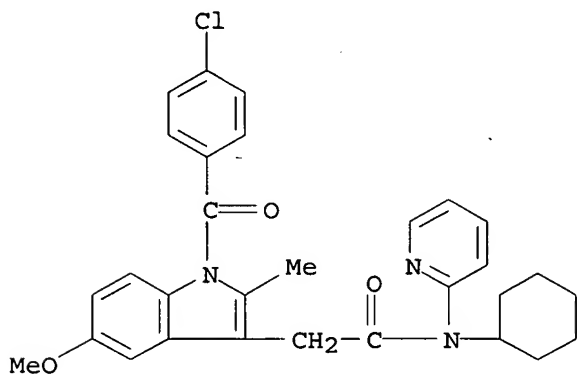
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(4,5,6,7-tetrahydro-6-methyl-2-benzothiazolyl)- (CA INDEX NAME)



RN 773898-32-1 HCAPLUS

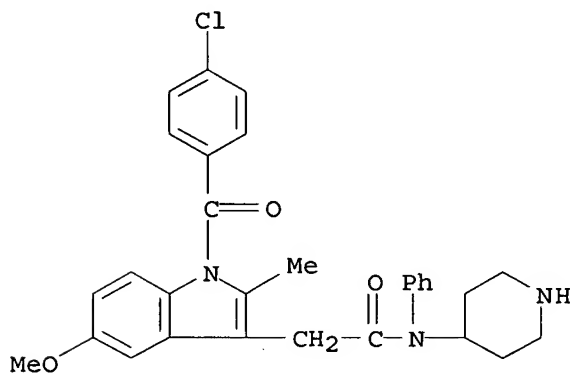
10542169.trn

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-2-pyridinyl- (CA INDEX NAME)



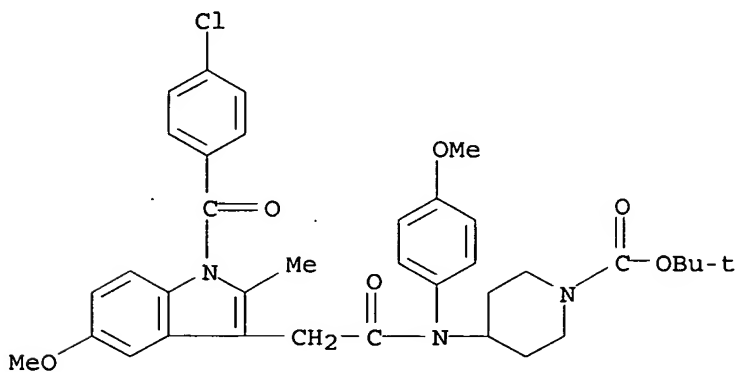
RN 773898-33-2 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-phenyl-N-4-piperidinyl- (CA INDEX NAME)



RN 773898-35-4 HCAPLUS

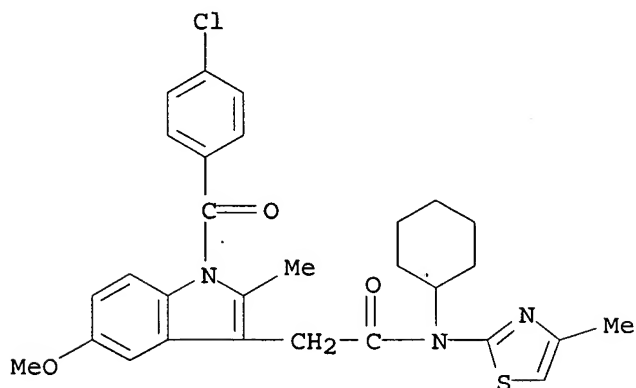
CN 1-Piperidinecarboxylic acid, 4-[[[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl](4-methoxyphenyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



10542169.trn

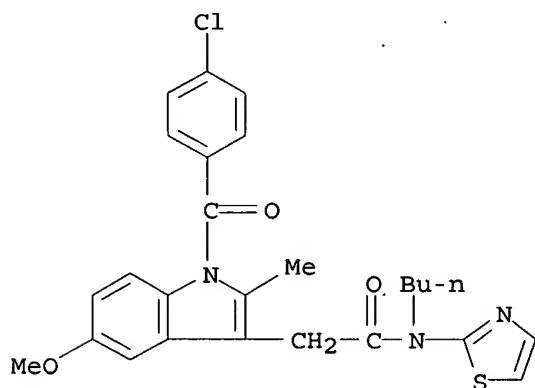
RN 773898-36-5 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-(4-methyl-2-thiazolyl)- (CA INDEX NAME)



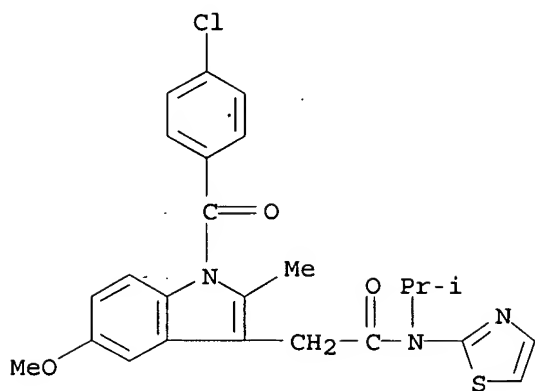
RN 773898-37-6 HCAPLUS

CN 1H-Indole-3-acetamide, N-butyl-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



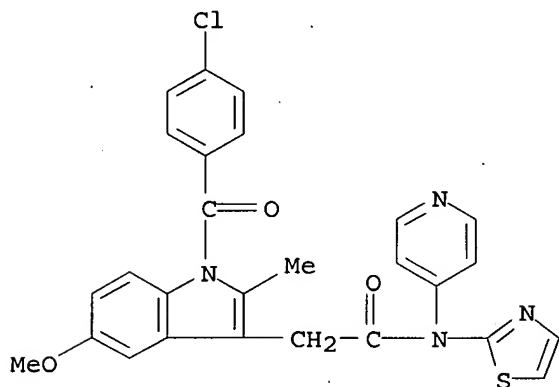
RN 773898-38-7 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(1-methylethyl)-N-2-thiazolyl- (CA INDEX NAME)



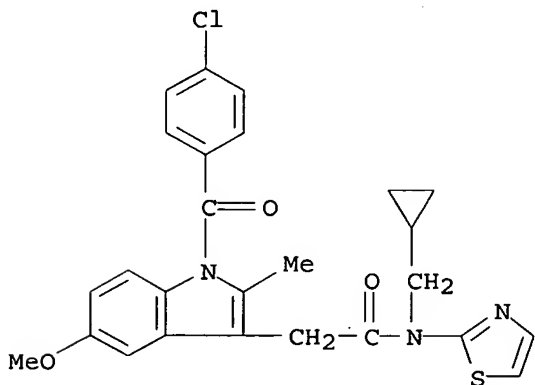
RN 773898-39-8 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-4-pyridinyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-40-1 HCAPLUS

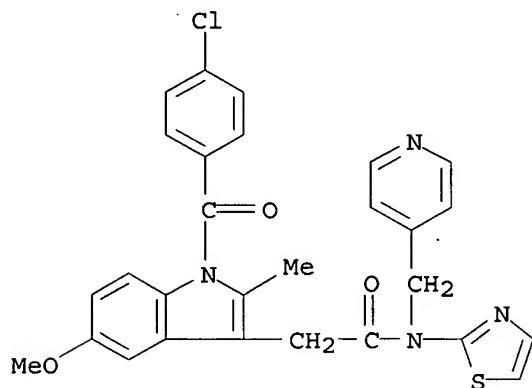
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-41-2 HCAPLUS

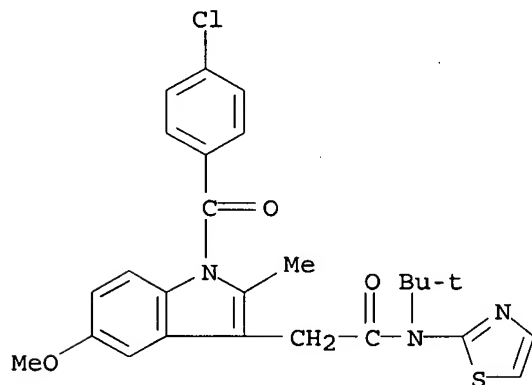
10542169.trn

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(4-pyridinylmethyl)-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-42-3 HCAPLUS

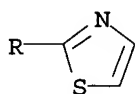
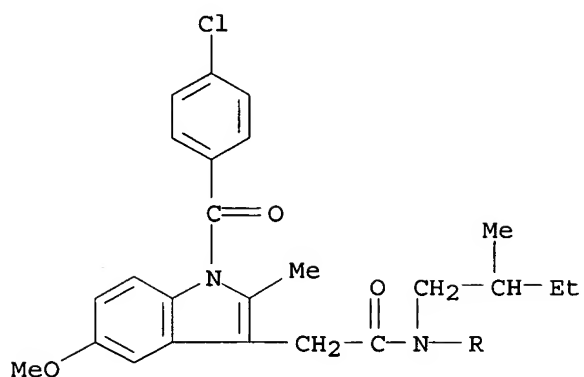
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(1,1-dimethylethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-43-4 HCAPLUS

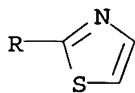
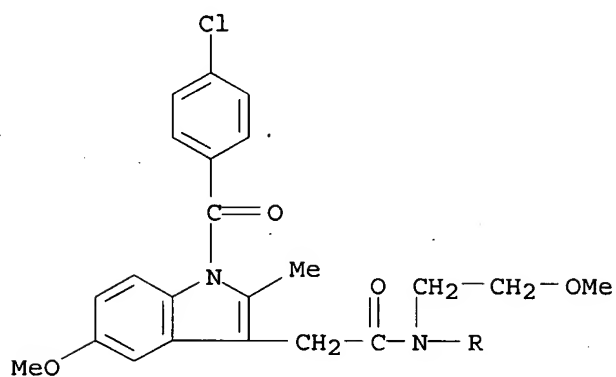
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(2-methylbutyl)-N-2-thiazolyl- (CA INDEX NAME)

10542169.trn



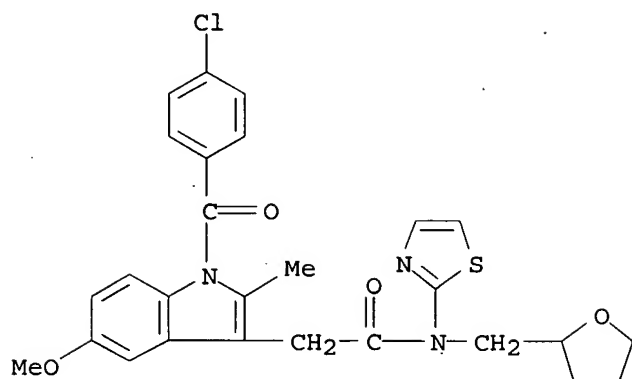
RN 773898-44-5 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-N-(2-methoxyethyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



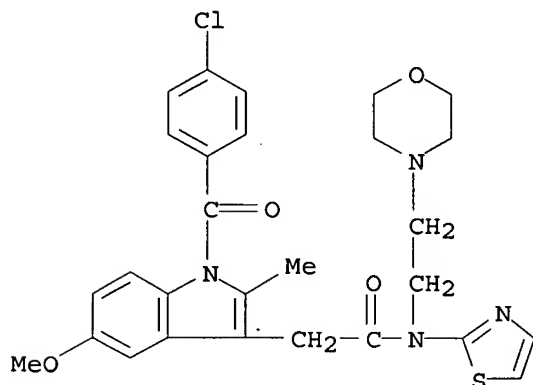
RN 773898-45-6 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[(tetrahydro-2-furanyl)methyl]-N-2-thiazolyl- (CA INDEX NAME)



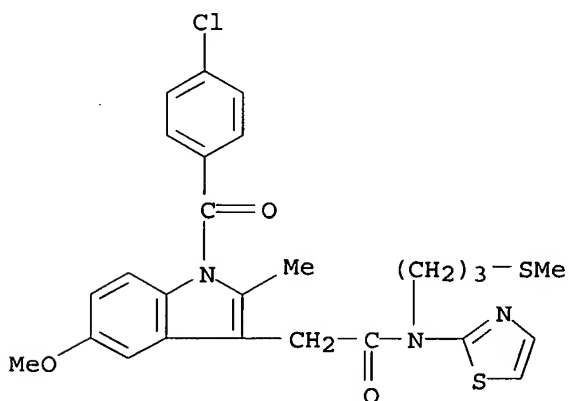
RN 773898-46-7 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[2-(4-morpholinyl)ethyl]-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-47-8 HCAPLUS

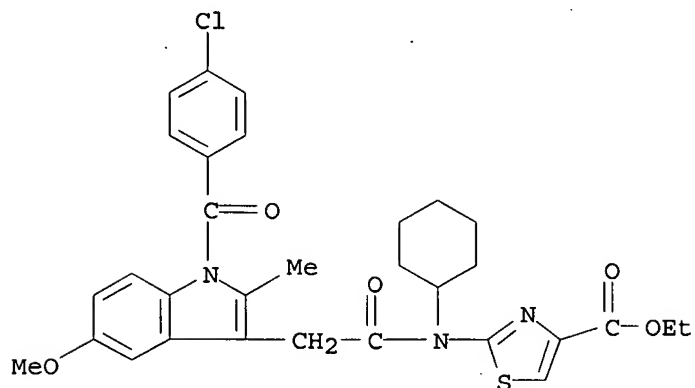
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[3-(methylthio)propyl]-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-48-9 HCAPLUS

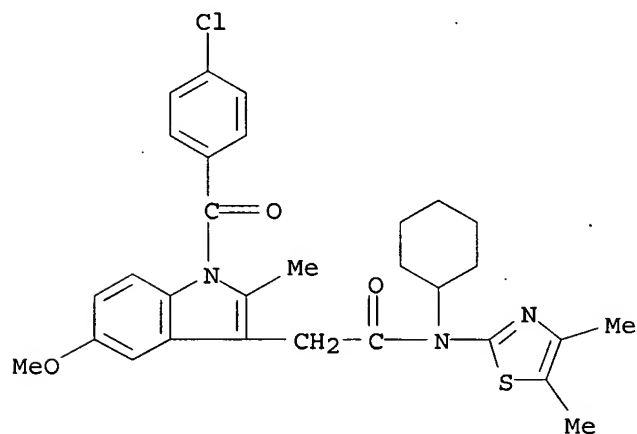
CN 4-Thiazolecarboxylic acid, 2-[[[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-

indol-3-yl]acetyl]cyclohexylamino]-, ethyl ester (9CI) (CA INDEX NAME)



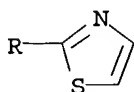
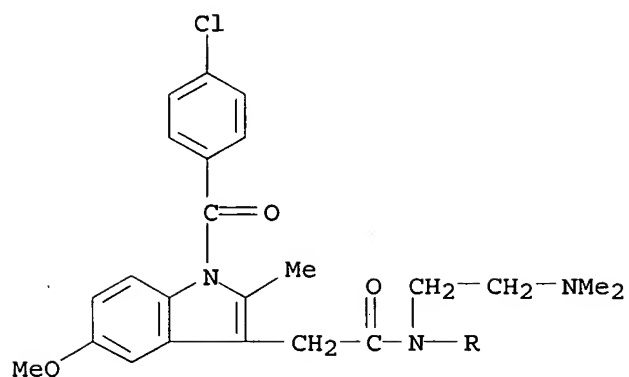
RN 773898-49-0 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-N-(4,5-dimethyl-2-thiazolyl)-5-methoxy-2-methyl- (CA INDEX NAME)

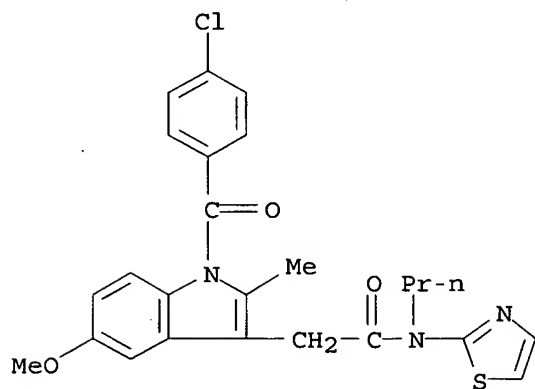


RN 773898-50-3 HCAPLUS

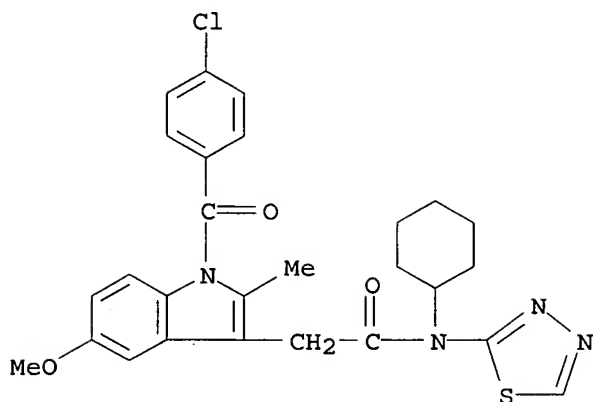
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[2-(dimethylamino)ethyl]-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-51-4 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-propyl-N-2-thiazolyl- (CA INDEX NAME)

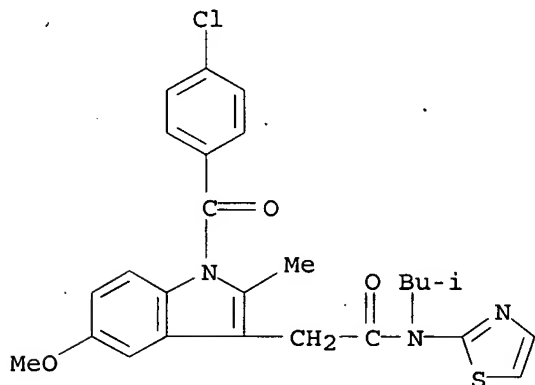


RN 773898-52-5 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-1,3,4-thiadiazol-2-yl- (CA INDEX NAME)



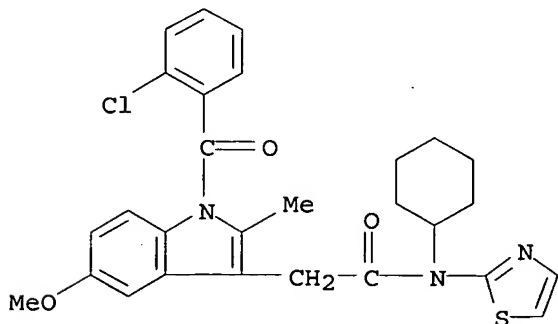
RN 773898-53-6 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(2-methylpropyl)-N-2-thiazolyl- (CA INDEX NAME)



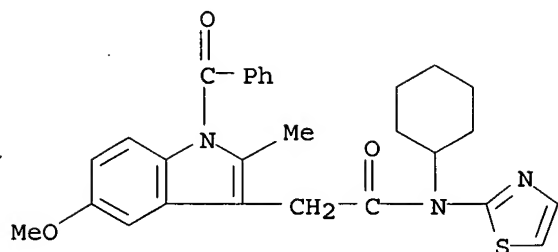
RN 773898-54-7 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(2-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



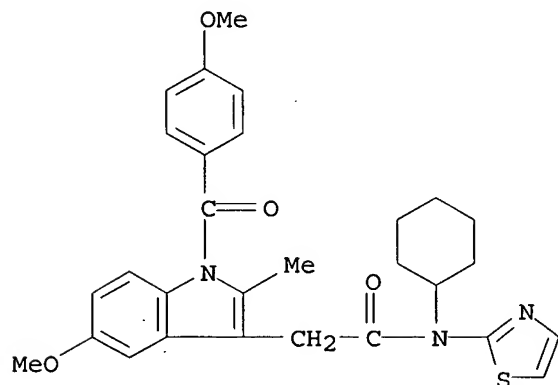
RN 773898-55-8 HCAPLUS

CN 1H-Indole-3-acetamide, 1-benzoyl-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



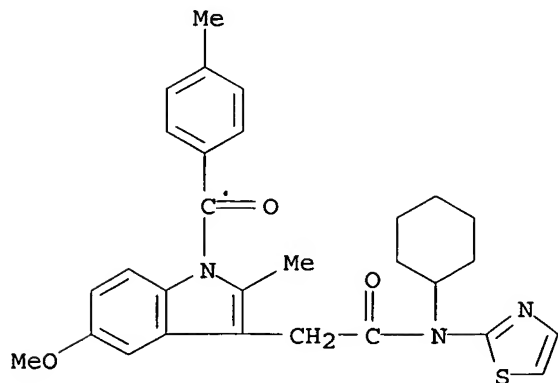
RN 773898-56-9 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-1-(4-methoxybenzoyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



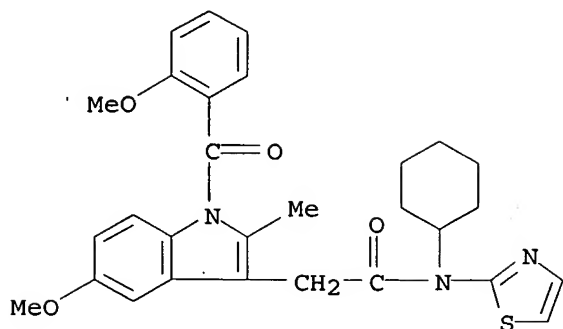
RN 773898-57-0 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-1-(4-methylbenzoyl)-N-2-thiazolyl- (CA INDEX NAME)



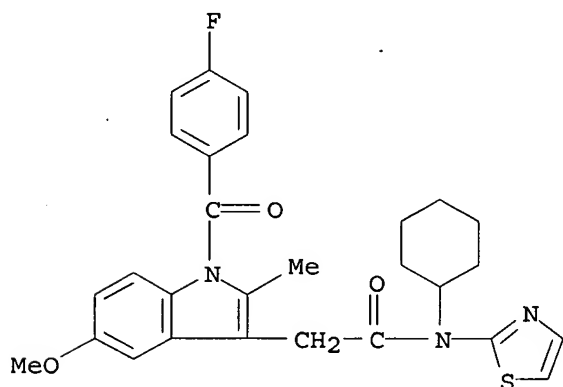
RN 773898-58-1 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-1-(2-methoxybenzoyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



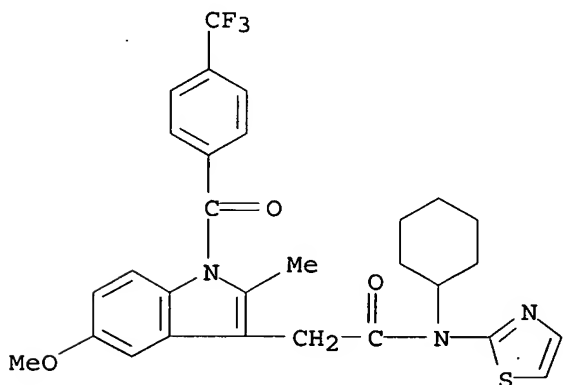
RN 773898-59-2 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-1-(4-fluorobenzoyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



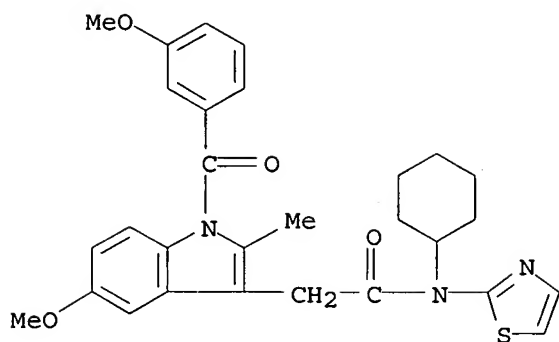
RN 773898-60-5 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-[4-(trifluoromethyl)benzoyl]- (CA INDEX NAME)



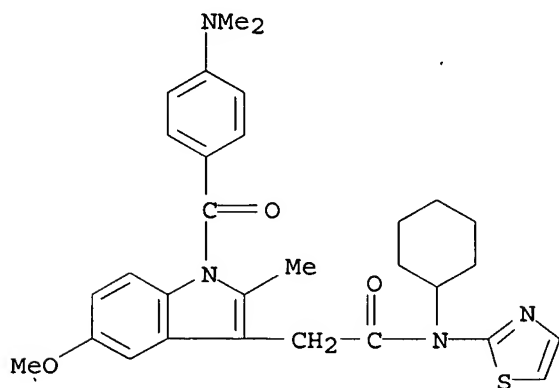
RN 773898-61-6 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-1-(3-methoxybenzoyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



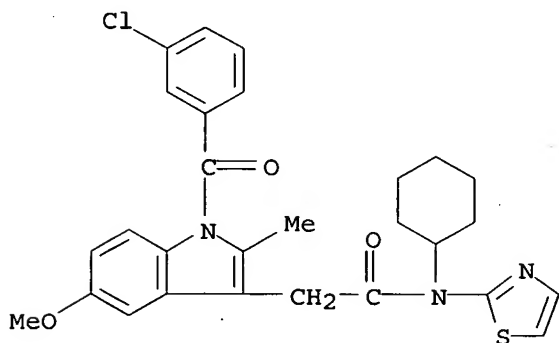
RN 773898-62-7 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-1-[4-(dimethylamino)benzoyl]-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



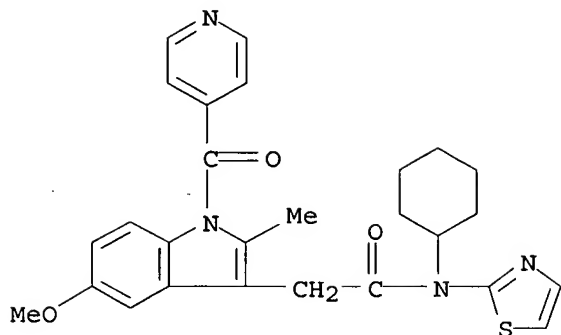
RN 773898-63-8 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(3-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



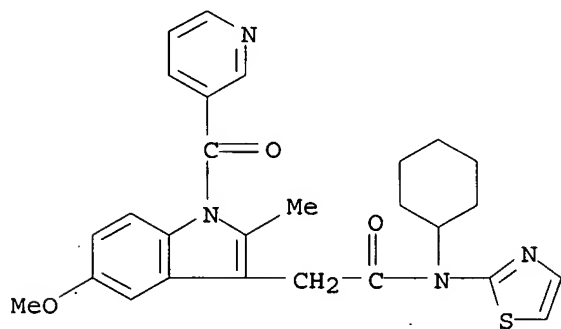
RN 773898-65-0 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-1-(4-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



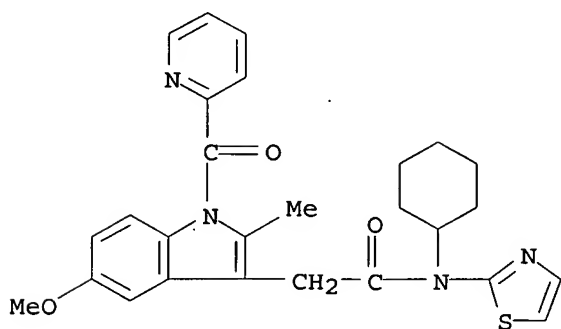
RN 773898-66-1 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-1-(3-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



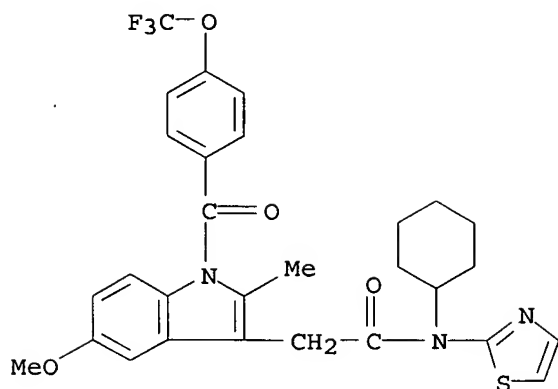
RN 773898-67-2 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-1-(2-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



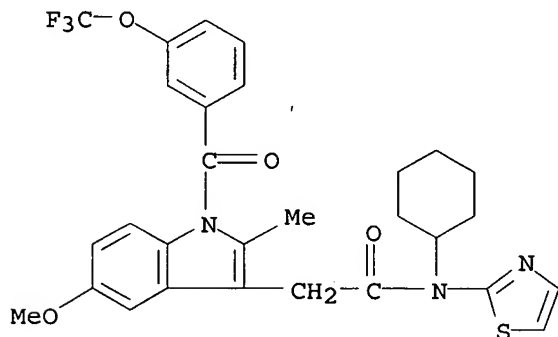
RN 773898-69-4 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-[4-(trifluoromethoxy)benzoyl]- (CA INDEX NAME)



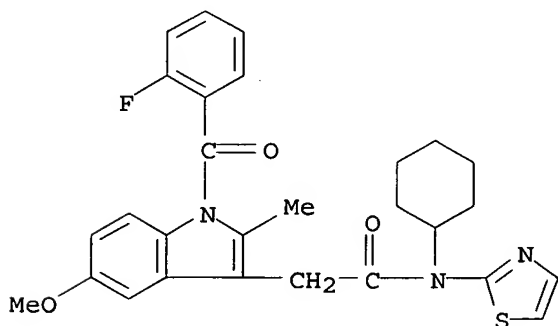
RN 773898-70-7 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-[3-(trifluoromethoxy)benzoyl]- (CA INDEX NAME)



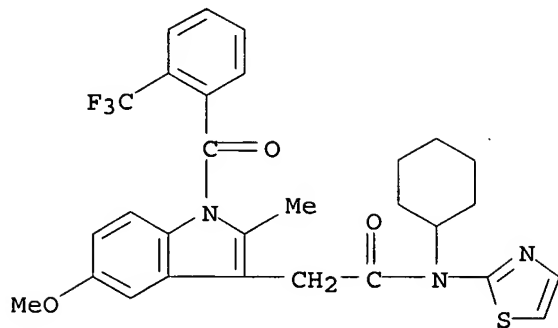
RN 773898-71-8 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-1-(2-fluorobenzoyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



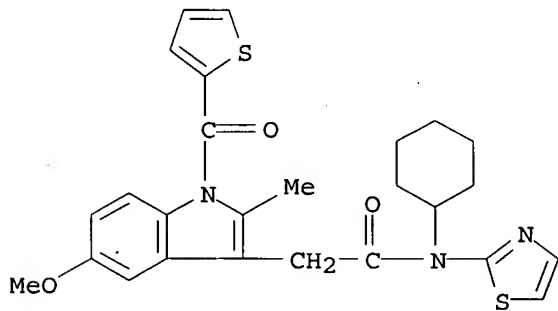
RN 773898-72-9 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-[2-(trifluoromethyl)benzoyl]- (CA INDEX NAME)



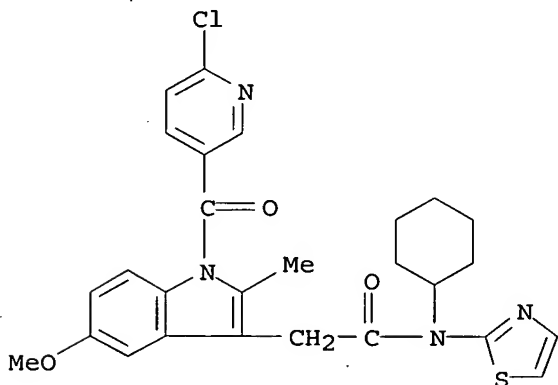
RN 773898-73-0 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-(2-thienylcarbonyl)- (CA INDEX NAME)



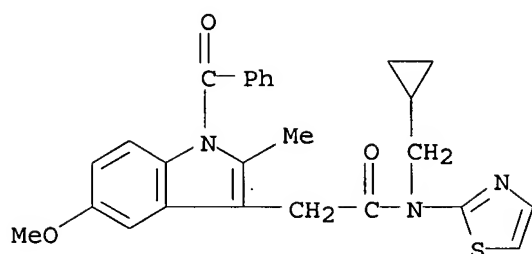
RN 773898-75-2 HCAPLUS

CN 1H-Indole-3-acetamide, 1-[(6-chloro-3-pyridinyl)carbonyl]-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



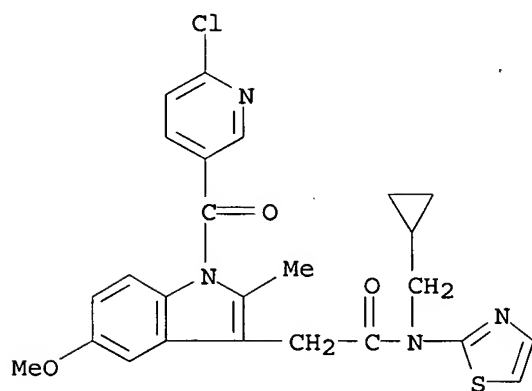
RN 773898-77-4 HCAPLUS

CN 1H-Indole-3-acetamide, 1-benzoyl-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



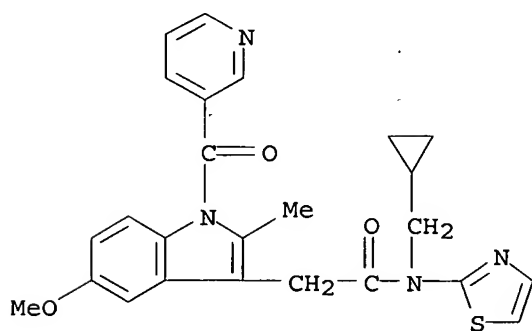
RN 773898-78-5 HCAPLUS

CN 1H-Indole-3-acetamide, 1-[(6-chloro-3-pyridinyl)carbonyl]-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



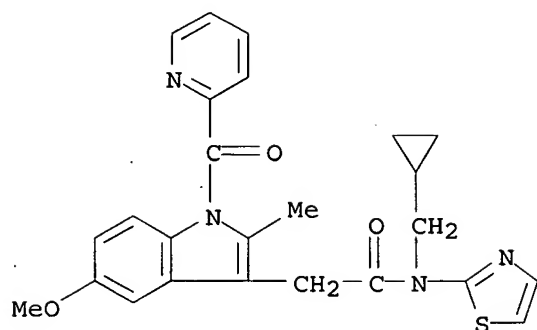
RN 773898-79-6 HCAPLUS

CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(3-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



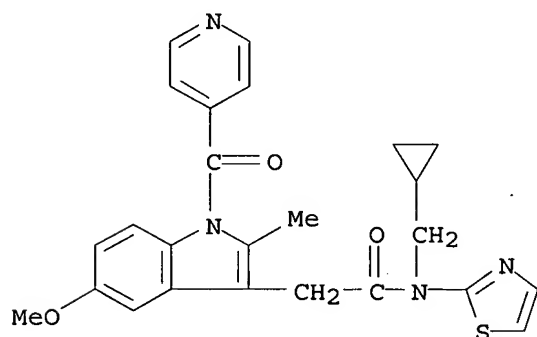
RN 773898-80-9 HCAPLUS

CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(2-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



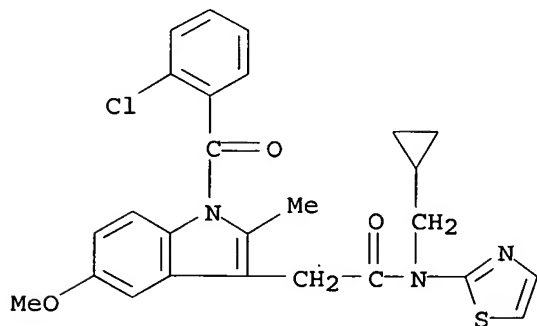
RN 773898-81-0 HCAPLUS

CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(4-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



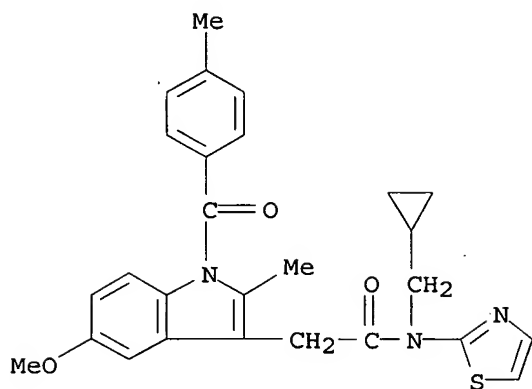
RN 773898-82-1 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(2-chlorobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)

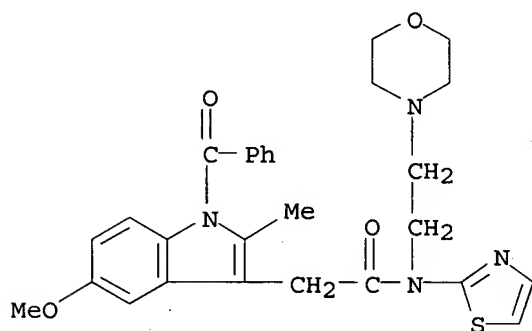


RN 773898-83-2 HCAPLUS

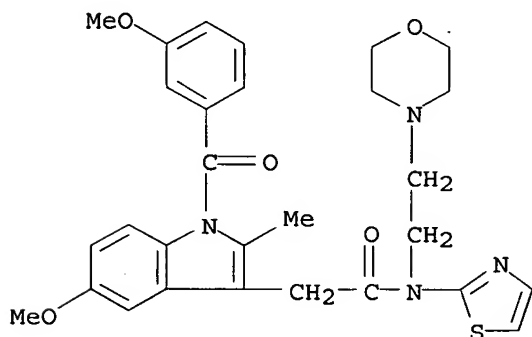
CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(4-methylbenzoyl)-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-84-3 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-benzoyl-5-methoxy-2-methyl-N-[2-(4-morpholinyl)ethyl]-N-2-thiazolyl- (CA INDEX NAME)

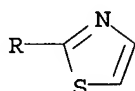
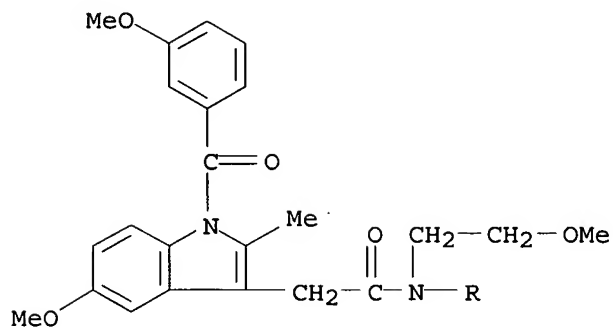


RN 773898-85-4 HCAPLUS  
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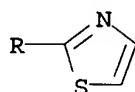
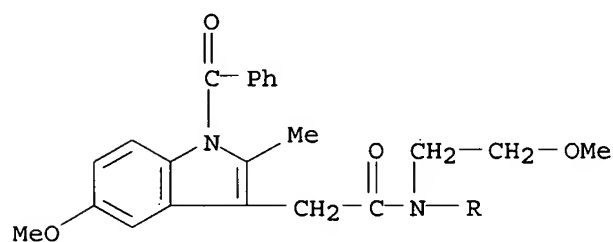


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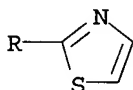
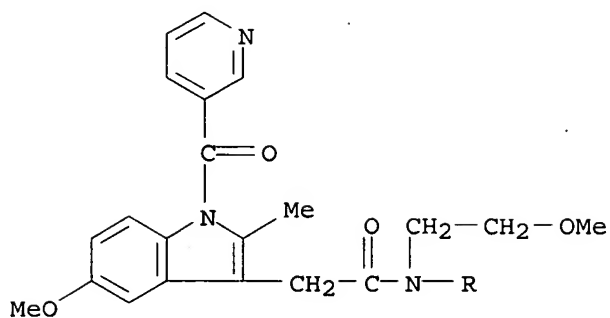
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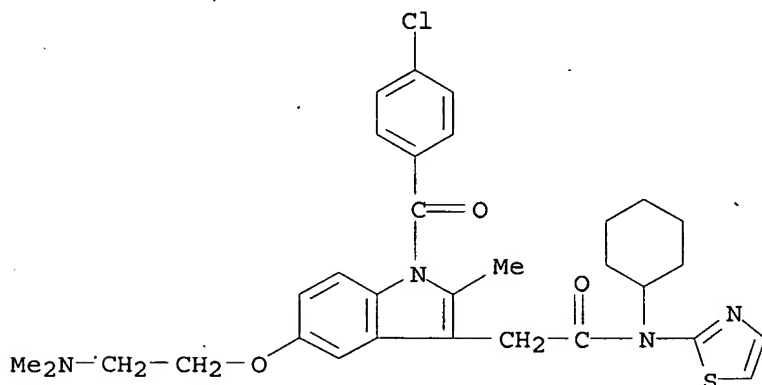
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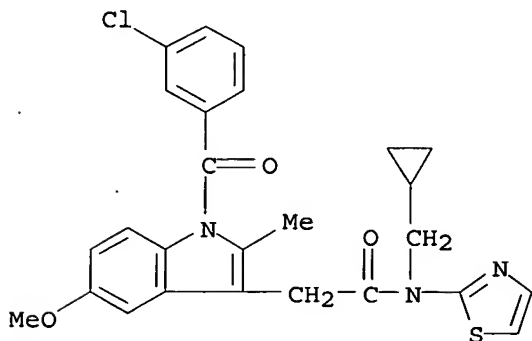
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RN 773898-90-1 HCAPLUS  
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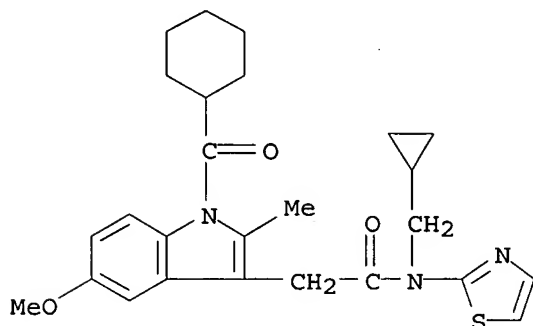
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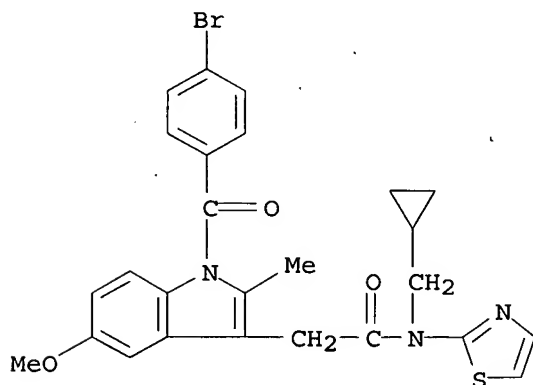
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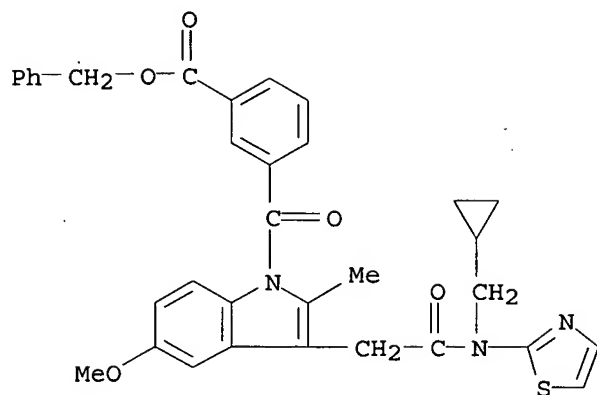
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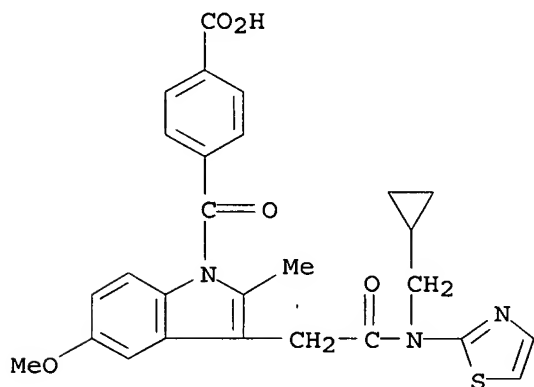
RN 773898-94-5 HCAPLUS

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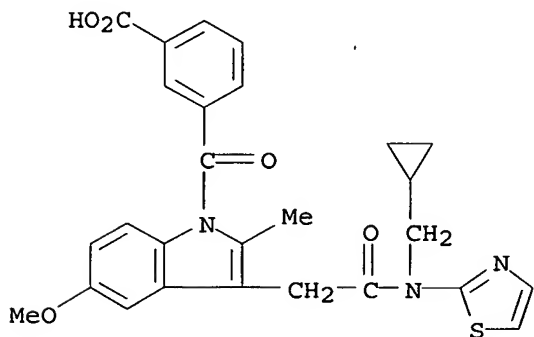
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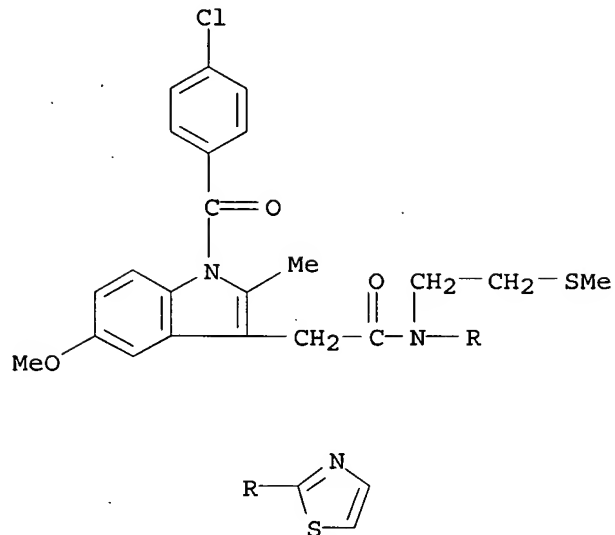
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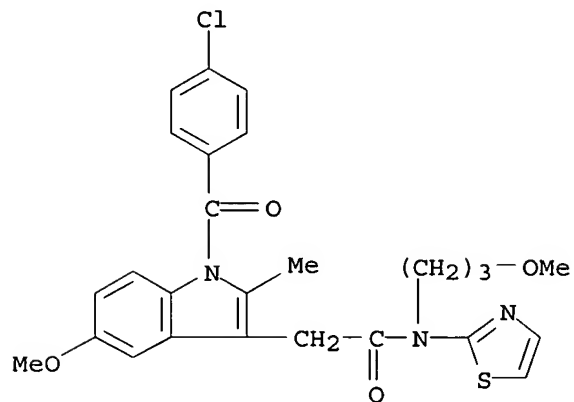


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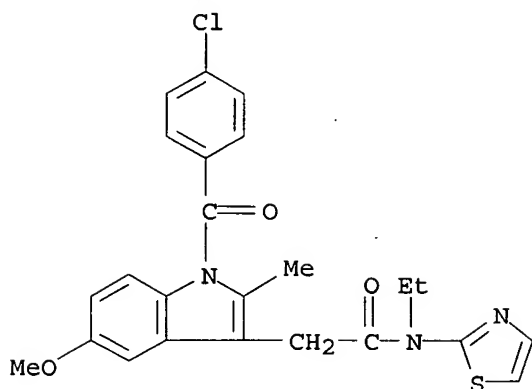
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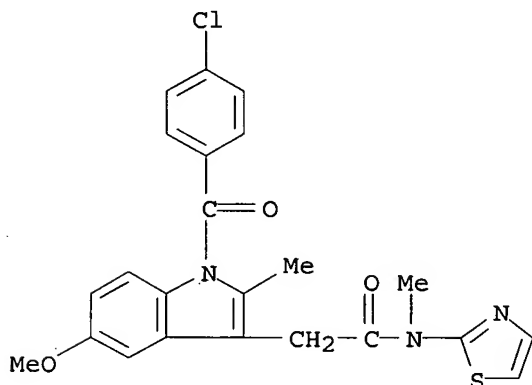
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RN 773899-01-7 HCAPLUS  
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RN 773899-02-8 HCAPLUS  
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L18 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:857325 HCAPLUS

DOCUMENT NUMBER: 141:350033

TITLE: Preparation of 5-methoxy-2-methylindole-3-acetamide derivs. as potassium channel blockers for treating ocular hypertension

INVENTOR(S): Fisher, Michael H.; Garcia, Maria L.; Kaczorowski, Gregory J.; Meinke, Peter T.; Parsons, William H.; Boyd, Edward Andrew; Price, Stephen; Stibbard, John

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Evotec Oai

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

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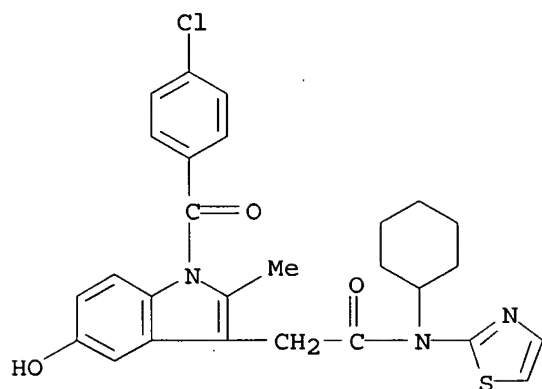
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [X = -(CHR7)p-; Y = -CO(CH2)n- or -CH(OR8)-; Q = N, CR9, or O; R1 = H, alkyl, CF3, alkoxy, OH, etc.; R2 = H, alkyl, alkylSR8, -(CH2)nO(CH2)mOR8, -(CH2)alkoxy, etc.; R3 = H, alkyl, -(CH2)ncycloalkyl, -(CH2)nheterocyclyl, or when Q = N, R2, R3 taken together with the the N form a 4-10 membered heterocyclic ring; R4, R5 = H, alkoxy, OH, alkyl, COOR8, SO3H, etc.; R6 = H, alkyl, -(CH2)(hetero)aryl, -NH(CH2)(hetero)aryl, etc.; R7 = H, alkyl, -(CH2)nCOOR8, or -(CH2)nN(R8)2; R8 = H, or alkyl; R9 = H, or alkyl; m = 0-3; n = 0-3, p = 0-1] were prepared as potent potassium channel blockers in the treatment of glaucoma and other conditions which leads to elevated intraocular pressure in the eye of a patient. For example, reaction of 1-(4-chlorobenzoyl)-5-methoxy-2-methylindole-3-acetic acid with N-cyclohexyl-N-thiazol-2-yl amine (preparation given) yielded compound II. The compds. of this invention inhibited Maxi-K Channel activity with IC50's in the range of 1 nM to 20 µM.

IT 773898-89-8P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of 5-methoxy-2-methylindole-3-acetamide derivs. as potassium channel blockers for treating ocular hypertension)

RN 773898-89-8 HCAPLUS

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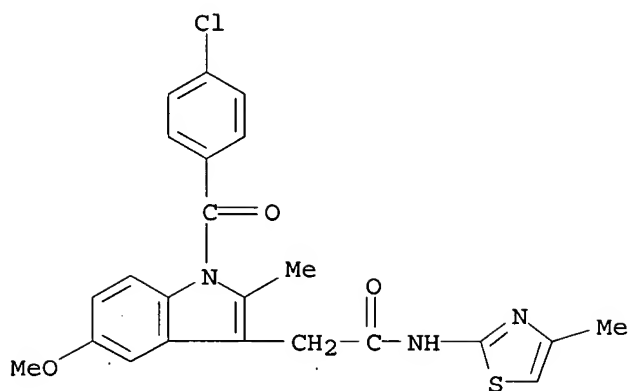
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
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 (Uses)

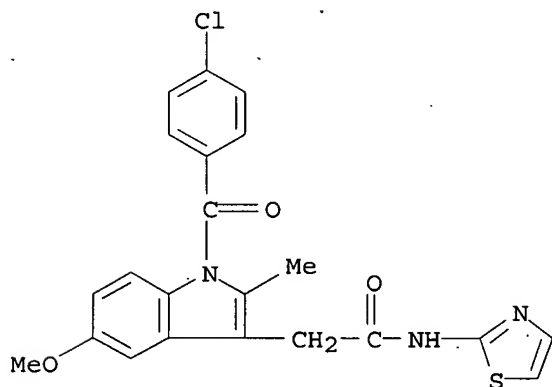
(preparation of 5-methoxy-2-methylindole-3-acetamide derivs. as potassium  
 channel blockers for treating ocular hypertension)

RN 282728-83-0 HCAPLUS

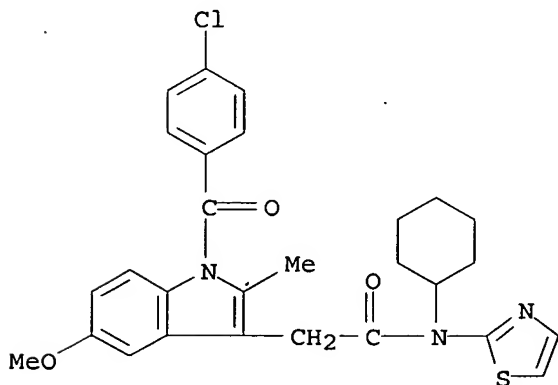
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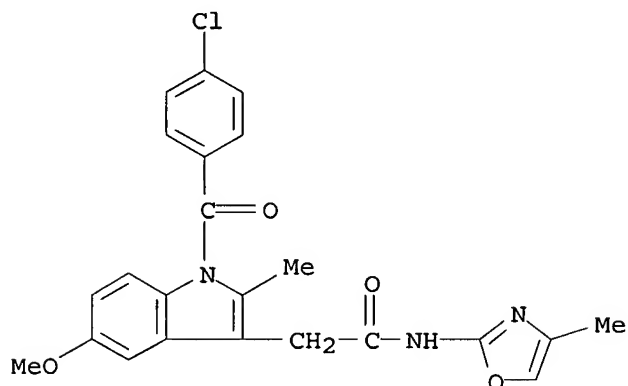
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RN 773898-10-5 HCAPLUS

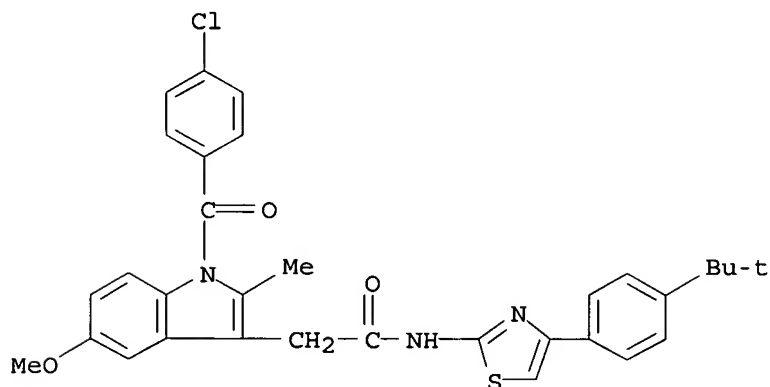
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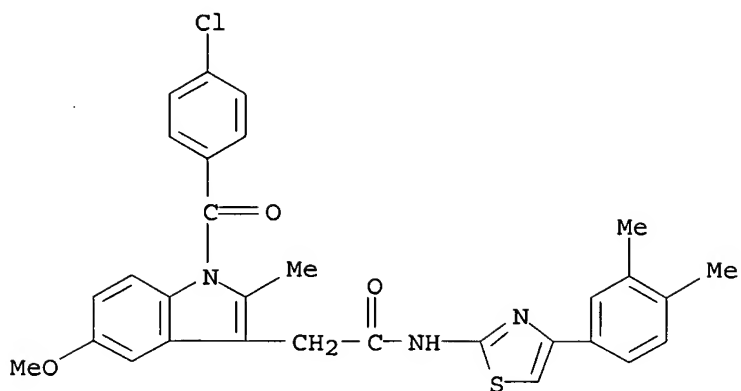
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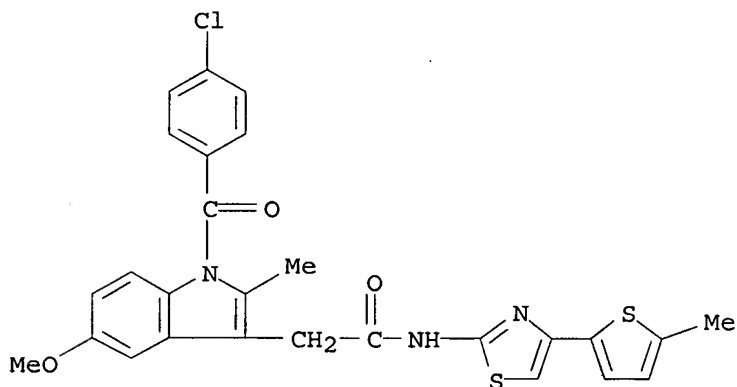
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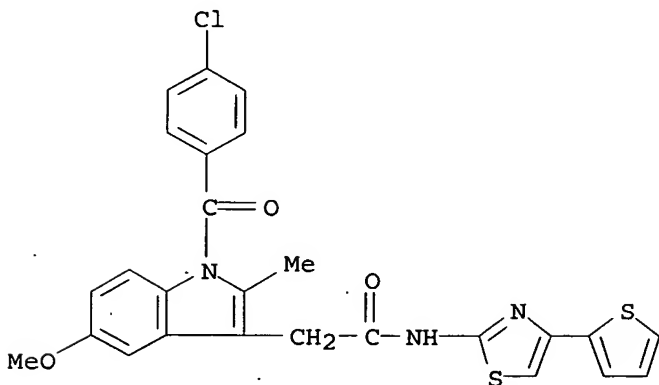
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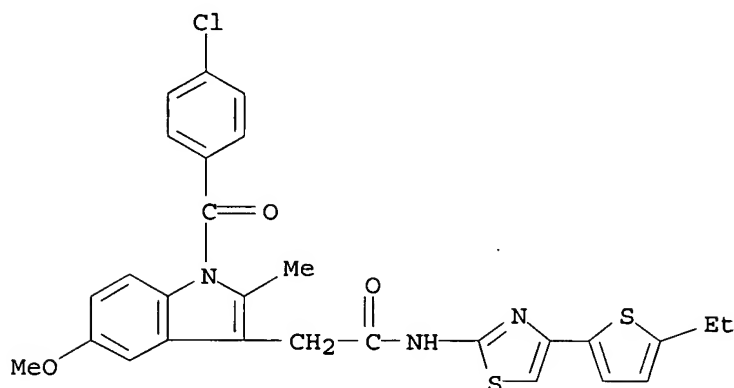
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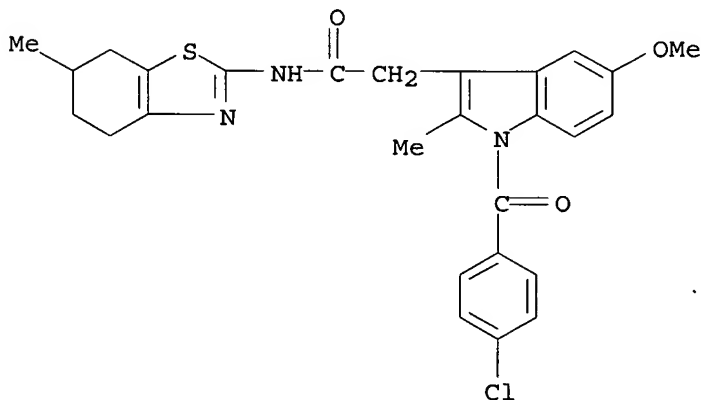
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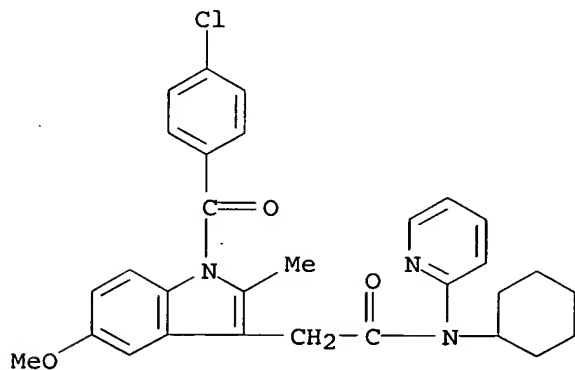
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RN 773898-32-1 HCAPLUS

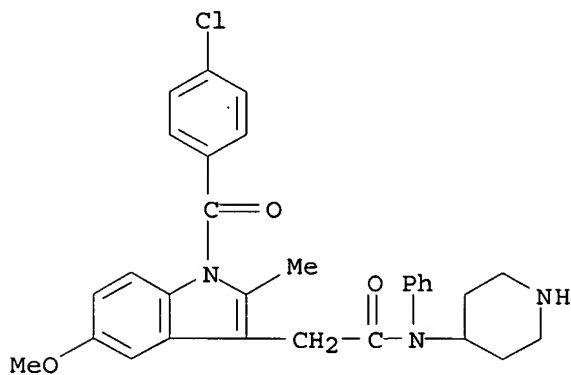
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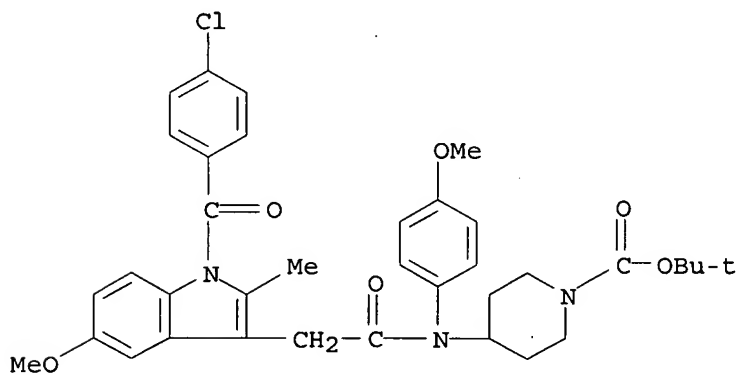
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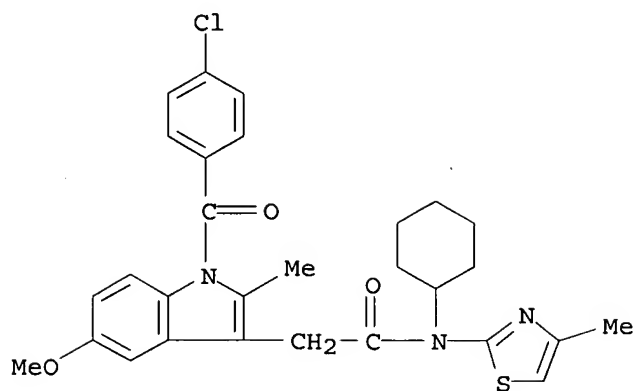
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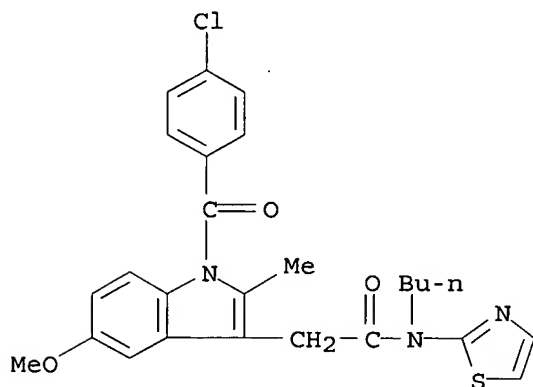
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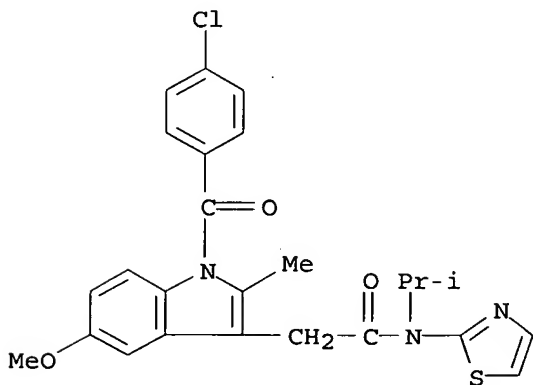
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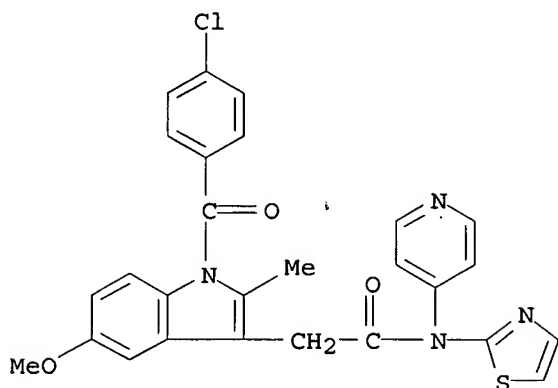
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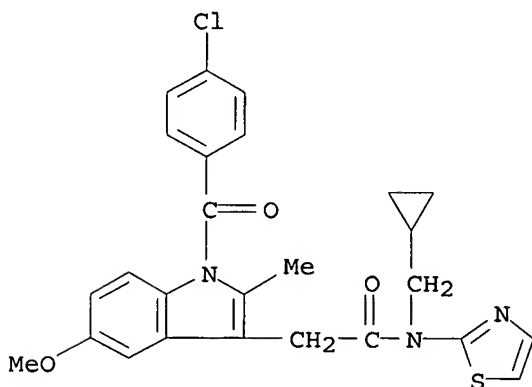
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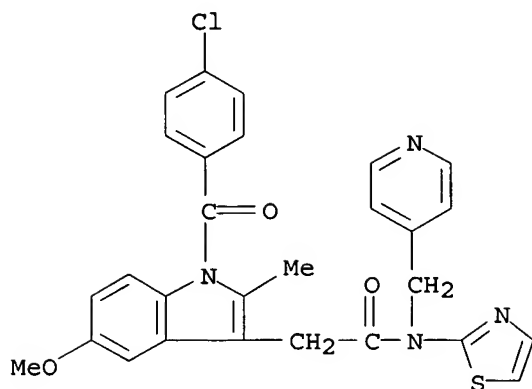
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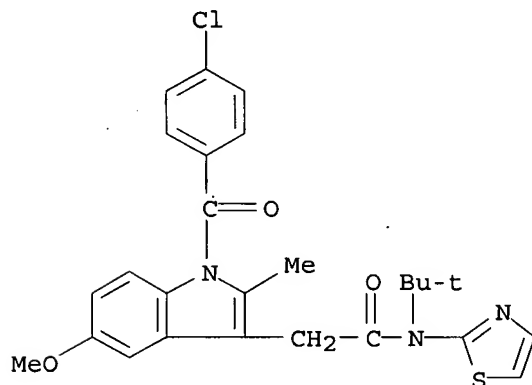


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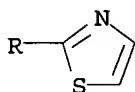
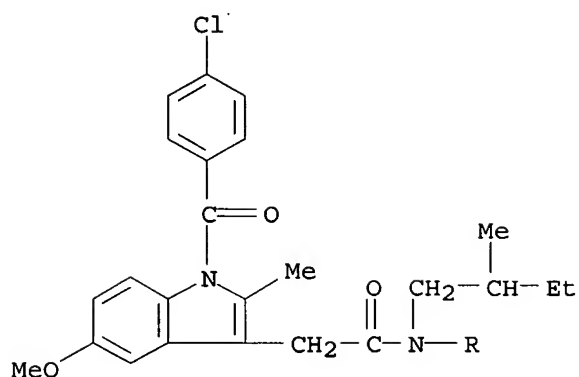
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RN 773898-42-3 HCAPLUS  
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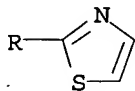
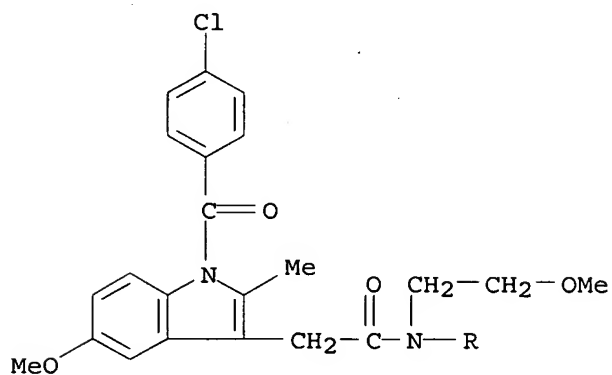


RN 773898-43-4 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(2-  
 methylbutyl)-N-2-thiazolyl- (CA INDEX NAME)



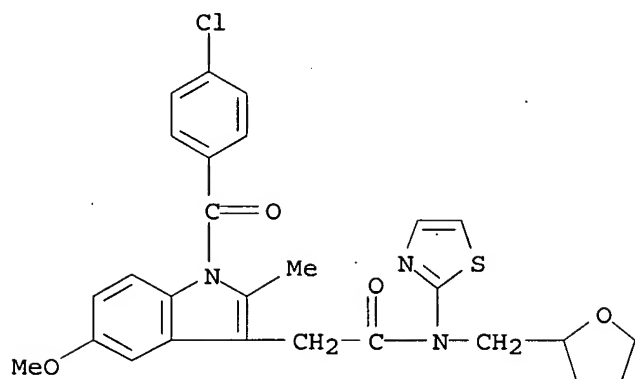
RN 773898-44-5 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-N-(2-methoxyethyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



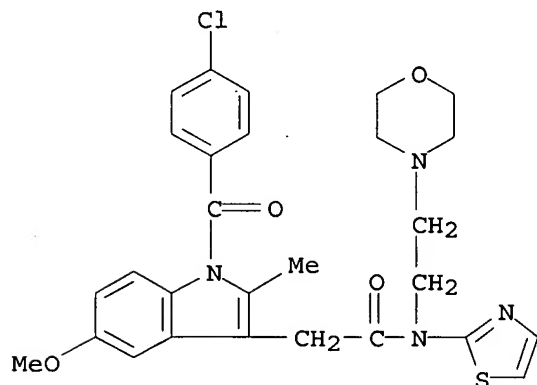
RN 773898-45-6 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[(tetrahydro-2-furanyl)methyl]-N-2-thiazolyl- (CA INDEX NAME)



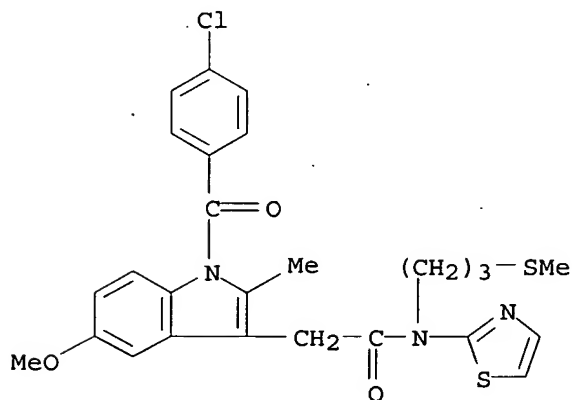
RN 773898-46-7 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[2-(4-morpholinyl)ethyl]-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-47-8 HCAPLUS

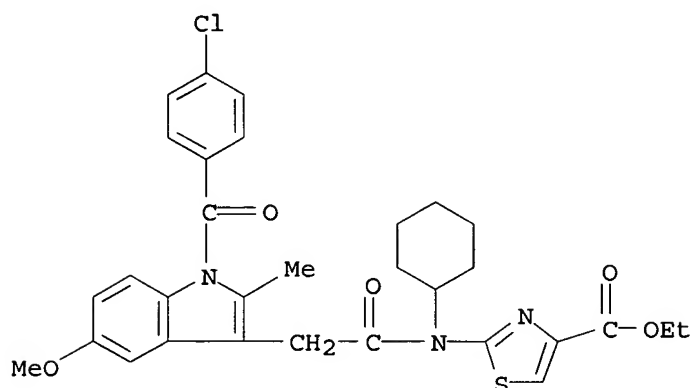
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[3-(methylthio)propyl]-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-48-9 HCAPLUS

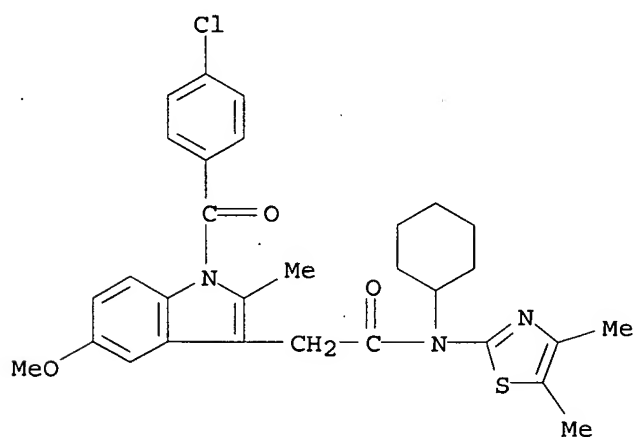
CN 4-Thiazolecarboxylic acid, 2-[[[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-

indol-3-yl]acetyl]cyclohexylamino]-, ethyl ester (9CI) (CA INDEX NAME)



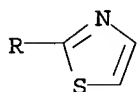
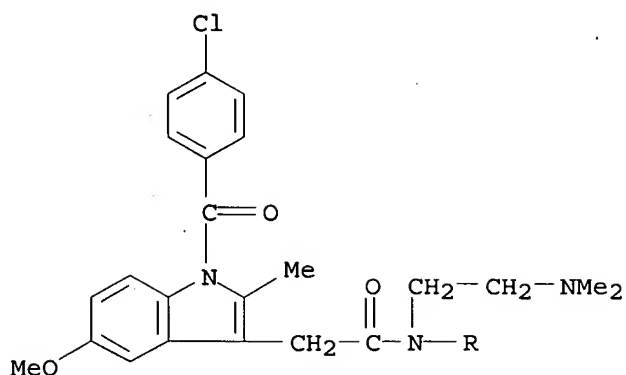
RN 773898-49-0 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-N-(4,5-dimethyl-2-thiazolyl)-5-methoxy-2-methyl- (CA INDEX NAME)

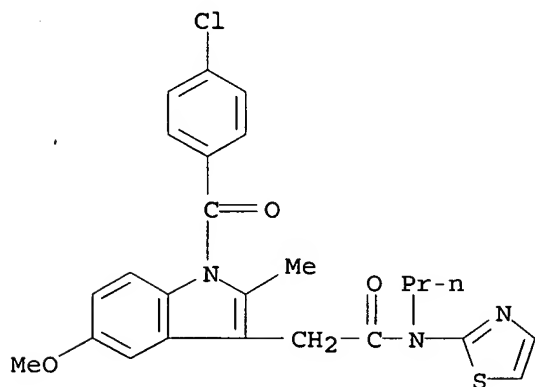


RN 773898-50-3 HCAPLUS

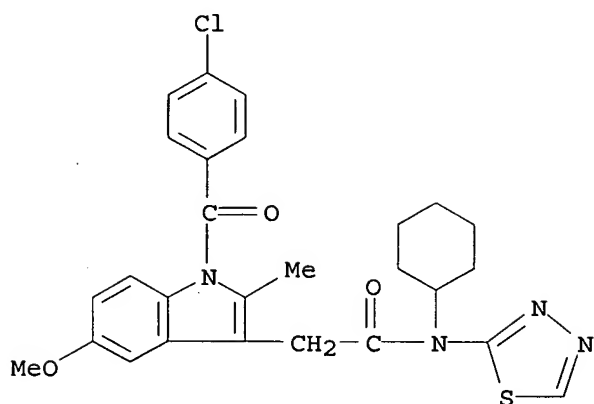
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[2-(dimethylamino)ethyl]-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-51-4 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-propyl-N-2-thiazolyl- (CA INDEX NAME)

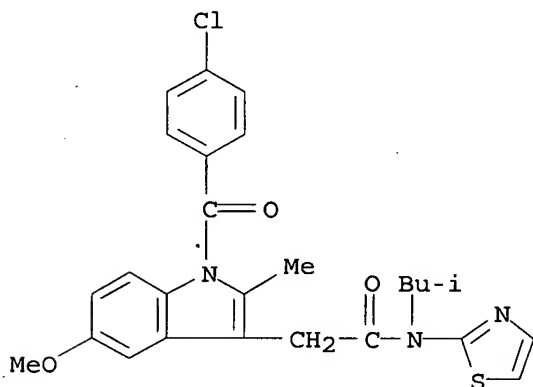


RN 773898-52-5 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-1,3,4-thiadiazol-2-yl- (CA INDEX NAME)



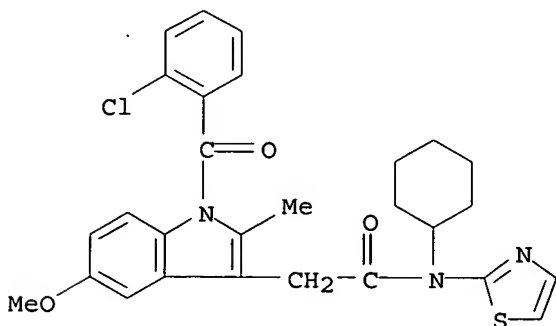
RN 773898-53-6 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(2-methylpropyl)-N-2-thiazolyl- (CA INDEX NAME)



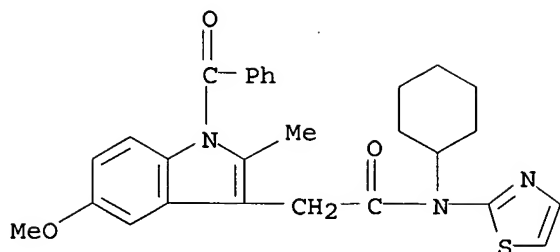
RN 773898-54-7 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(2-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



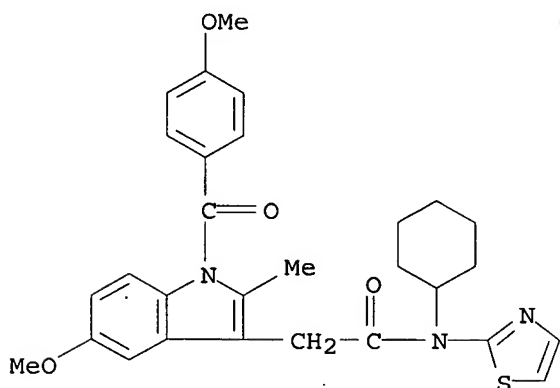
RN 773898-55-8 HCAPLUS

CN 1H-Indole-3-acetamide, 1-benzoyl-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



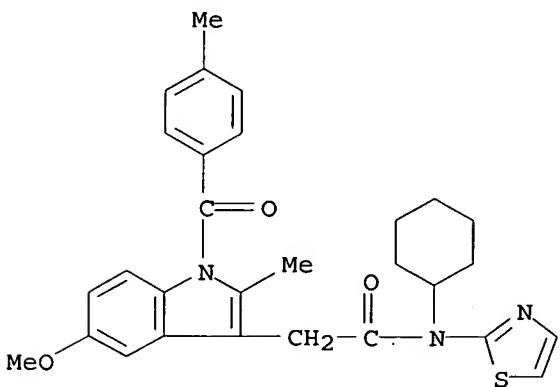
RN 773898-56-9 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-1-(4-methoxybenzoyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



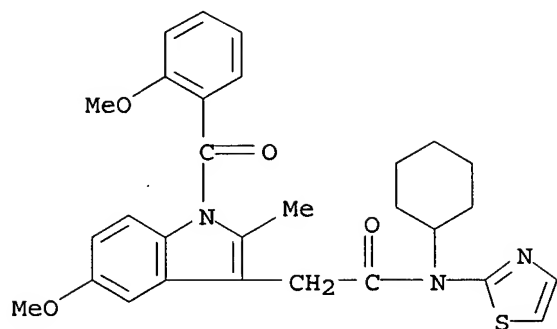
RN 773898-57-0 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-1-(4-methylbenzoyl)-N-2-thiazolyl- (CA INDEX NAME)



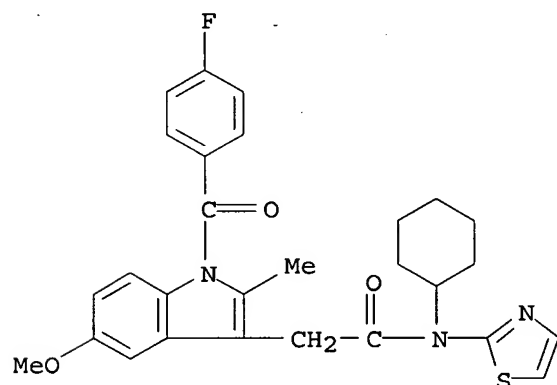
RN 773898-58-1 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-1-(2-methoxybenzoyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



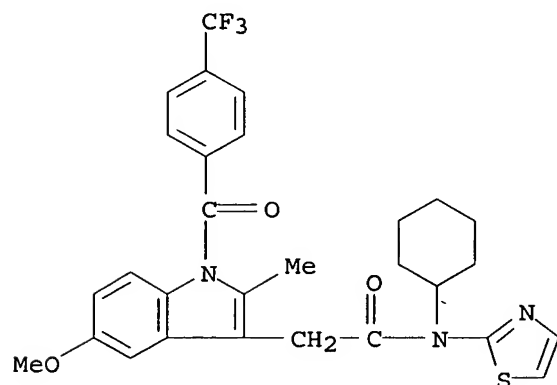
RN 773898-59-2 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-1-(4-fluorobenzoyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-60-5 HCAPLUS

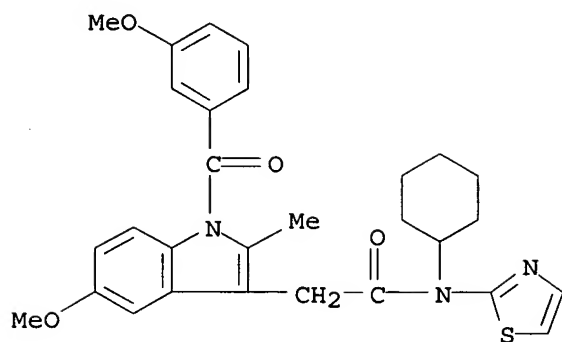
CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-[4-(trifluoromethyl)benzoyl]- (CA INDEX NAME)



RN 773898-61-6 HCAPLUS

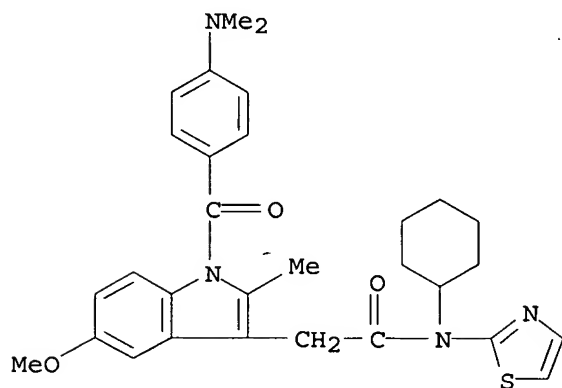
CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-1-(3-methoxybenzoyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)

10542169.trn



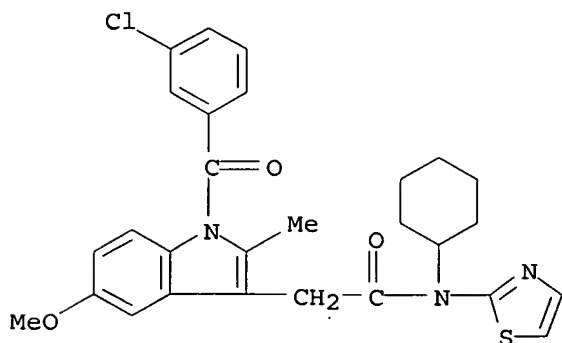
RN 773898-62-7 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-1-[4-(dimethylamino)benzoyl]-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



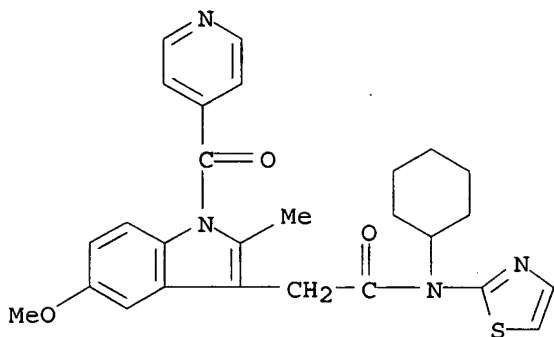
RN 773898-63-8 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(3-chlorobenzoyl)-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



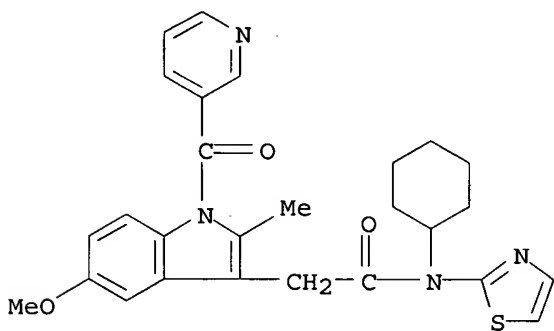
RN 773898-65-0 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-1-(4-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



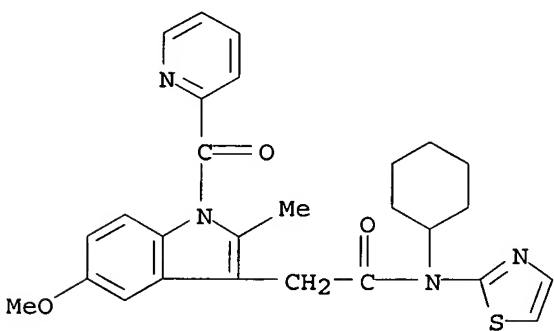
RN 773898-66-1 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-1-(3-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



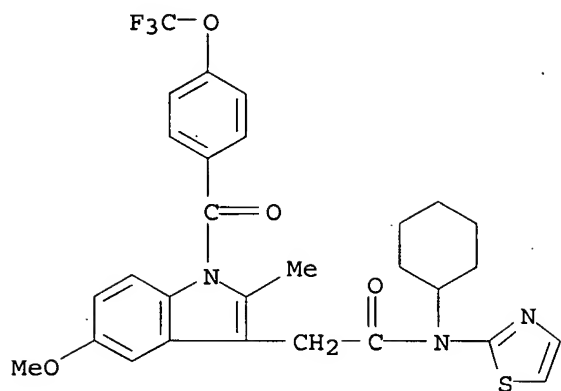
RN 773898-67-2 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-1-(2-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



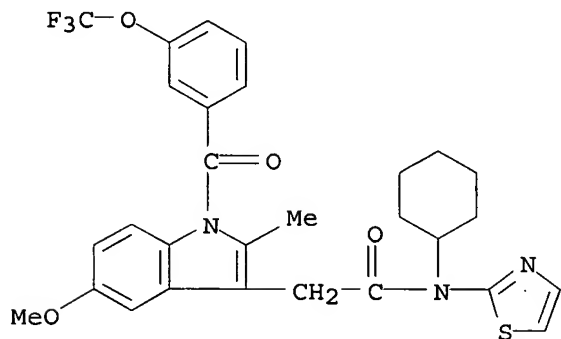
RN 773898-69-4 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-[4-(trifluoromethoxy)benzoyl]- (CA INDEX NAME)



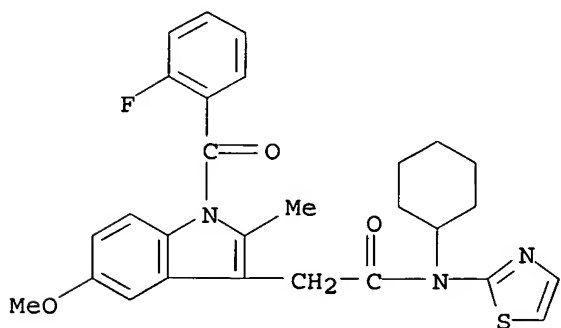
RN 773898-70-7 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-[3-(trifluoromethoxy)benzoyl]- (CA INDEX NAME)



RN 773898-71-8 HCAPLUS

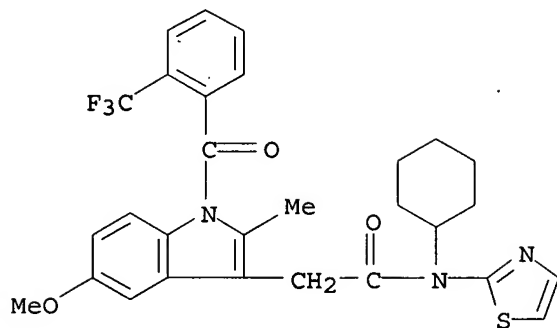
CN 1H-Indole-3-acetamide, N-cyclohexyl-1-(2-fluorobenzoyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-72-9 HCAPLUS

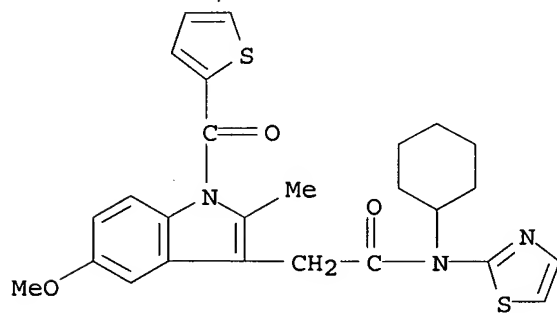
CN 1H-Indole-3-acetamide, N-cyclohexyl-1-(2-(trifluoromethyl)benzoyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)

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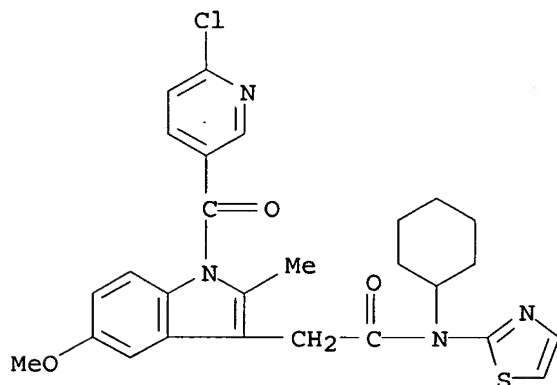
RN 773898-73-0 HCAPLUS

CN 1H-Indole-3-acetamide, N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl-1-(2-thienylcarbonyl)- (CA INDEX NAME)



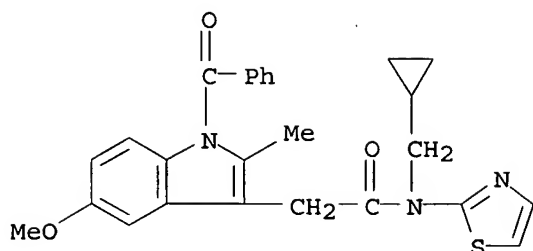
RN 773898-75-2 HCAPLUS

CN 1H-Indole-3-acetamide, 1-[(6-chloro-3-pyridinyl)carbonyl]-N-cyclohexyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)

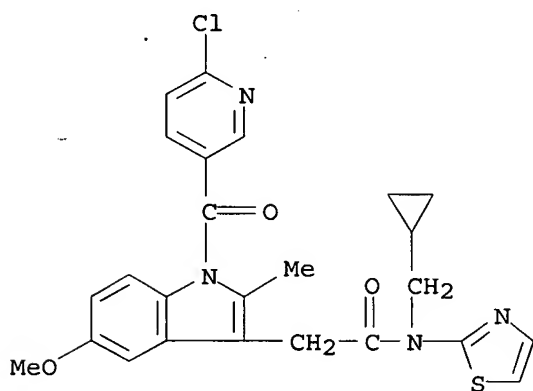


RN 773898-77-4 HCAPLUS

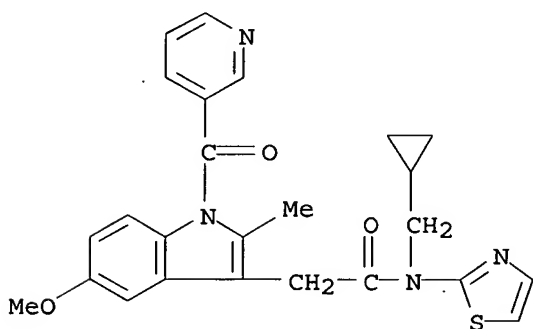
CN 1H-Indole-3-acetamide, 1-benzoyl-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



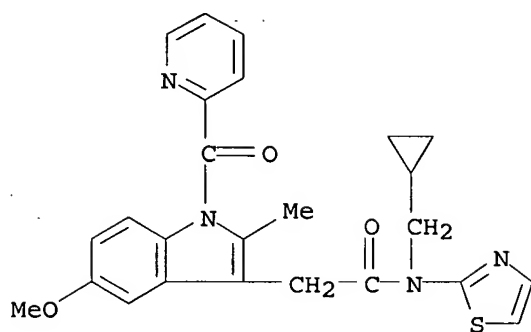
RN 773898-78-5 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-[(6-chloro-3-pyridinyl)carbonyl]-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-79-6 HCAPLUS  
 CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(3-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)

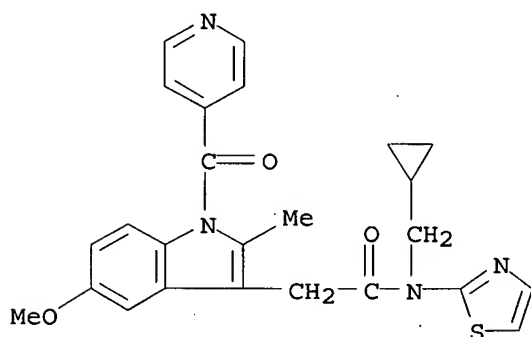


RN 773898-80-9 HCAPLUS  
 CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(2-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



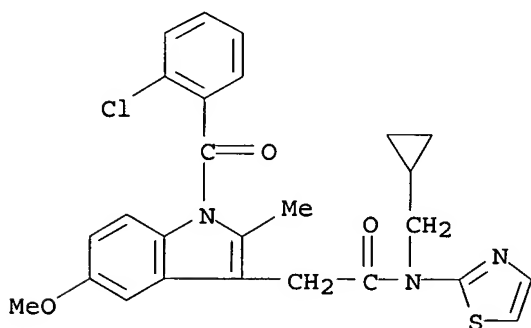
RN 773898-81-0 HCAPLUS

CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(4-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



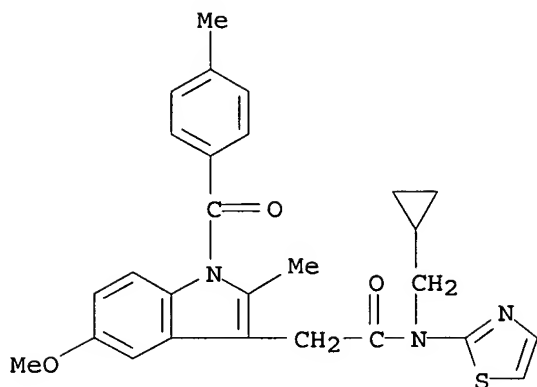
RN 773898-82-1 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(2-chlorobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)

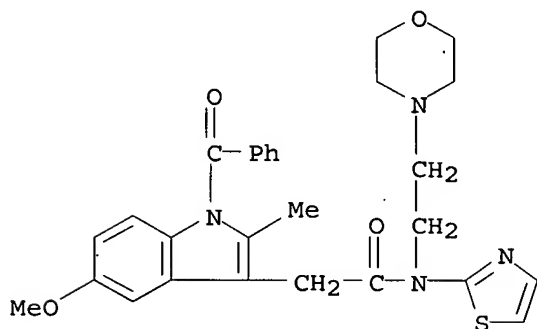


RN 773898-83-2 HCAPLUS

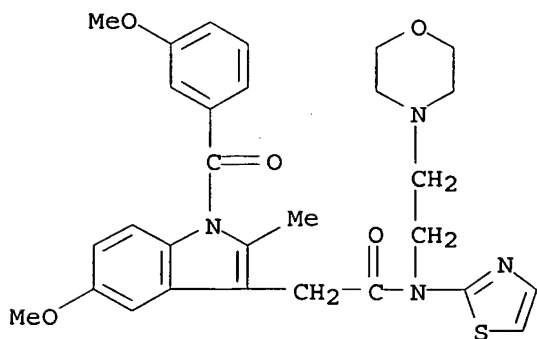
CN 1H-Indole-3-acetamide, N-(cyclopropylmethyl)-5-methoxy-2-methyl-1-(4-methylbenzoyl)-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-84-3 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-benzoyl-5-methoxy-2-methyl-N-[2-(4-morpholinyl)ethyl]-N-2-thiazolyl- (CA INDEX NAME)

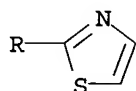
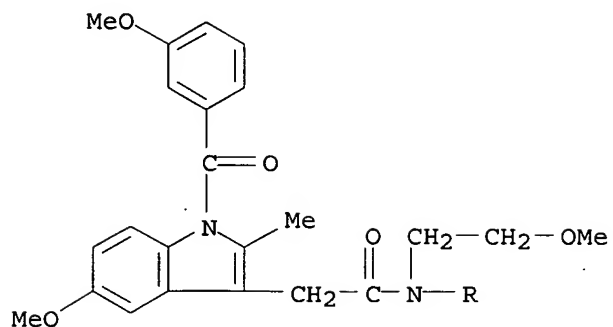


RN 773898-85-4 HCAPLUS  
 CN 1H-Indole-3-acetamide, 5-methoxy-1-(3-methoxybenzoyl)-2-methyl-N-[2-(4-morpholinyl)ethyl]-N-2-thiazolyl- (CA INDEX NAME)

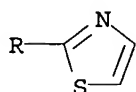
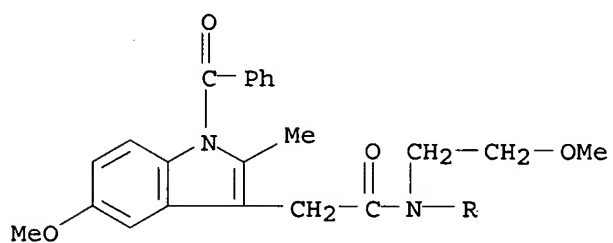


RN 773898-86-5 HCAPLUS  
 CN 1H-Indole-3-acetamide, 5-methoxy-1-(3-methoxybenzoyl)-N-(2-methoxyethyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)

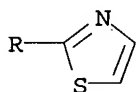
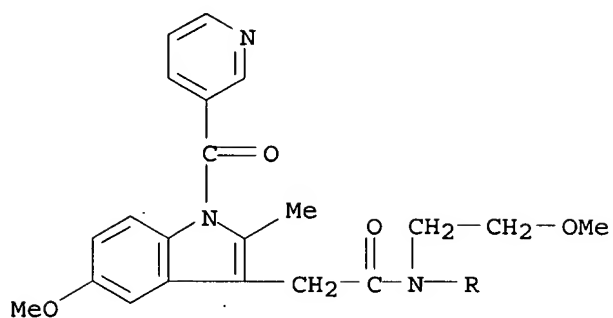
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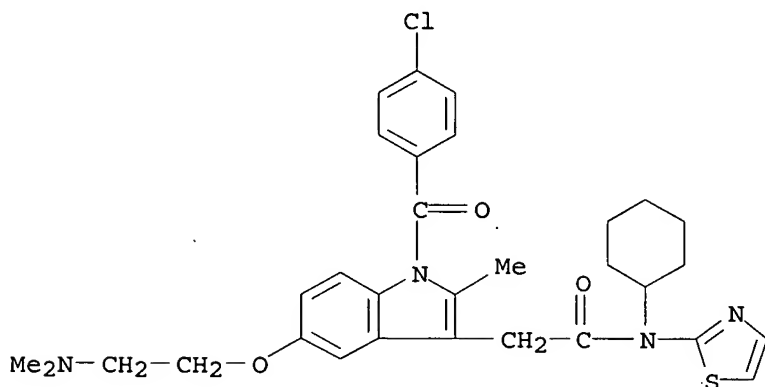
RN 773898-87-6 HCAPLUS  
CN 1H-Indole-3-acetamide, 1-benzoyl-5-methoxy-N-(2-methoxyethyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



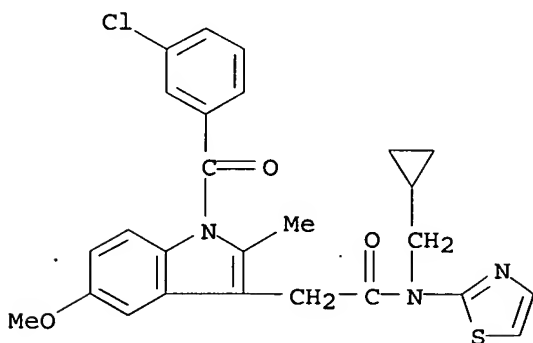
RN 773898-88-7 HCAPLUS  
CN 1H-Indole-3-acetamide, 5-methoxy-N-(2-methoxyethyl)-2-methyl-1-(3-pyridinylcarbonyl)-N-2-thiazolyl- (CA INDEX NAME)



RN 773898-90-1 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclohexyl-5-[2-(dimethylamino)ethoxy]-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



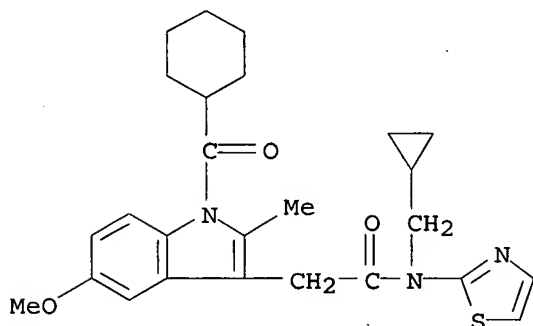
RN 773898-91-2 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(3-chlorobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



10542169.trn

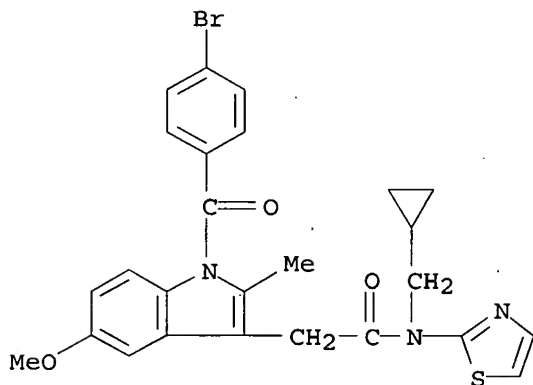
RN 773898-92-3 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(cyclohexylcarbonyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



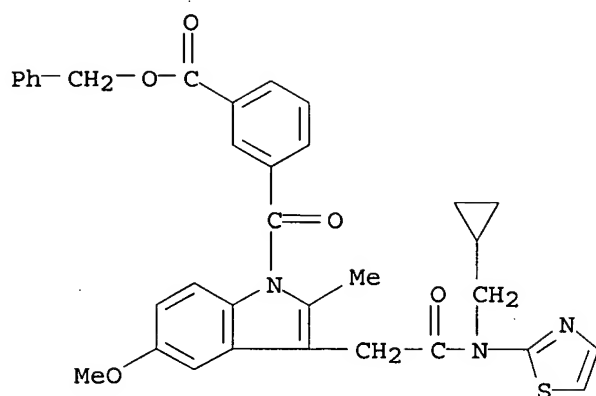
RN 773898-93-4 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-bromobenzoyl)-N-(cyclopropylmethyl)-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



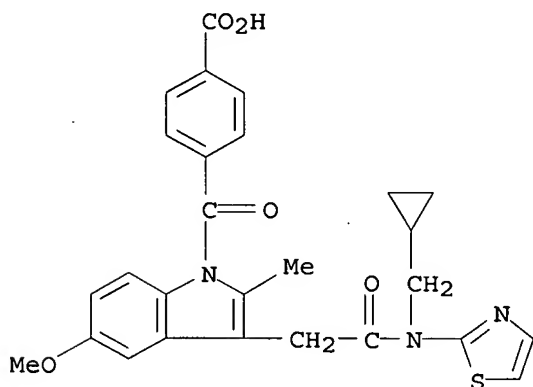
RN 773898-94-5 HCAPLUS

CN Benzoic acid, 3-[[3-[2-[(cyclopropylmethyl)-2-thiazolylamino]-2-oxoethyl]-5-methoxy-2-methyl-1H-indol-1-yl]carbonyl]-, phenylmethyl ester (CA INDEX NAME)



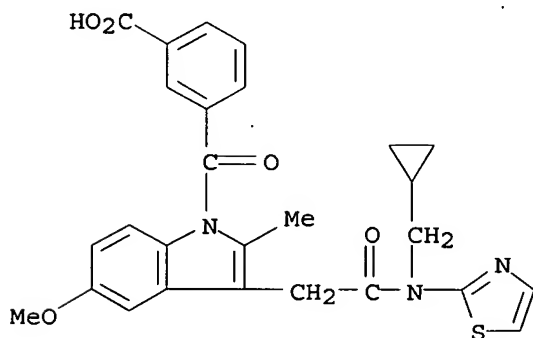
RN 773898-97-8 HCAPLUS

CN Benzoic acid, 4-[[3-[2-[(cyclopropylmethyl)-2-thiazolylamino]-2-oxoethyl]-5-methoxy-2-methyl-1H-indol-1-yl]carbonyl]- (CA INDEX NAME)



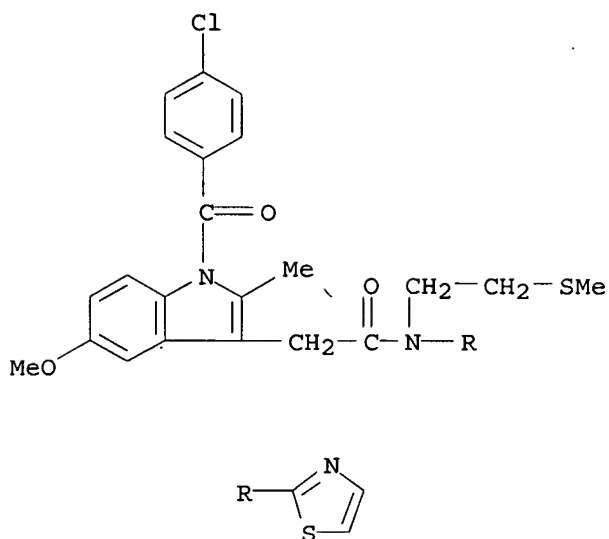
RN 773898-98-9 HCAPLUS

CN Benzoic acid, 3-[[3-[2-[(cyclopropylmethyl)-2-thiazolylamino]-2-oxoethyl]-5-methoxy-2-methyl-1H-indol-1-yl]carbonyl]- (CA INDEX NAME)



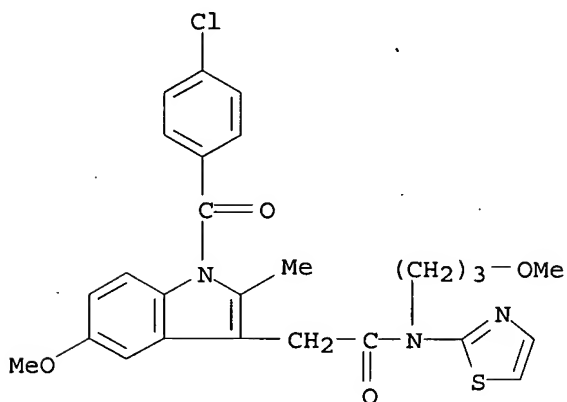
RN 773898-99-0 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[2-(methylthio)ethyl]-N-2-thiazolyl- (CA INDEX NAME)



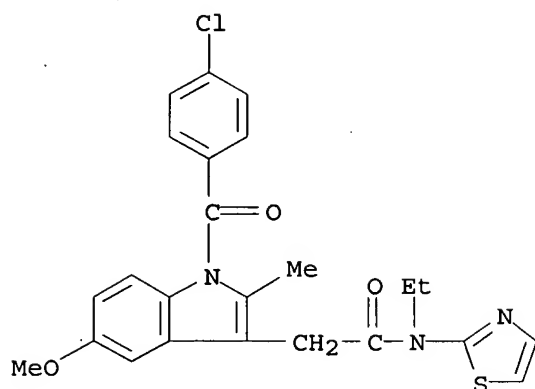
RN 773899-00-6 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-N-(3-methoxypropyl)-2-methyl-N-2-thiazolyl- (CA INDEX NAME)

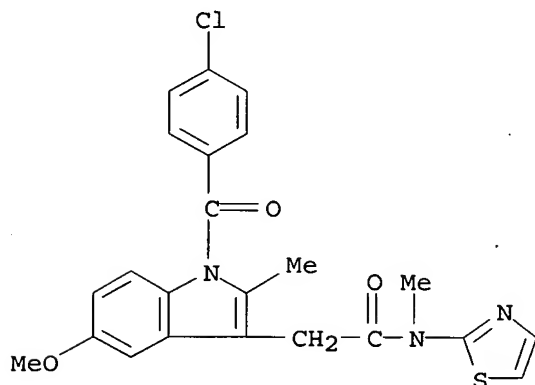


RN 773899-01-7 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-ethyl-5-methoxy-2-methyl-N-2-thiazolyl- (CA INDEX NAME)



RN 773899-02-8 HCAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-N,2-dimethyl-N-2-thiazolyl- (CA INDEX NAME)



=> d l122 ibib abs hitstr tot  
 L122 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

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L22 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:721438 HCAPLUS

DOCUMENT NUMBER: 135:288343

TITLE: Preparation and activity of nitrosated and nitrosylated nonsteroidal antiinflammatory compounds  
 INVENTOR(S): Bandarage, Upul K.; Dong, Qing; Fang, Xinqin; Garvey, David S.; Mercer, Gregory J.; Richardson, Stewart K.; Schroeder, Joseph D.; Wang, Tiansheng

PATENT ASSIGNEE(S): Nitromed, Inc., USA

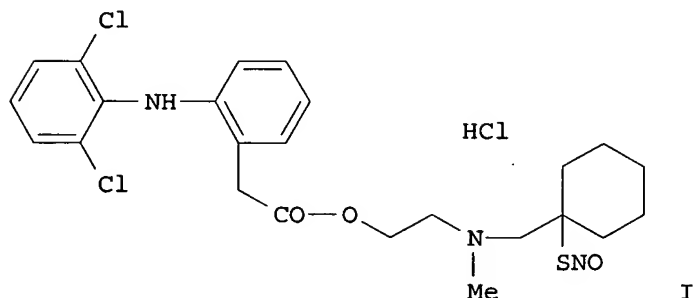
SOURCE: U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 182,433, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6297260	B1	20011002	US 1999-429019	19991029 <--
CA 2348741	A1	20000511	CA 1999-2348741	19991029 <--
WO 2000025776	A1	20000511	WO 1999-US25481	19991029 <--
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1126838	A1	20010829	EP 1999-958708	19991029 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528495	T	20020903	JP 2000-579217	19991029 <--
AU 763000	B2	20030710	AU 2000-16012	19991029 <--
US 2002016322	A1	20020207	US 2001-938560	20010827 <--
US 6593347	B2	20030715		
US 2003207919	A1	20031106	US 2003-431457	20030508 <--
AU 2004200091	A1	20040205	AU 2004-200091	20040109
PRIORITY APPLN. INFO.:			US 1998-182433	B2 19981030
			AU 2000-16012	A 19991029
			US 1999-429019	A3 19991029
			WO 1999-US25481	W 19991029
			US 2001-938560	A3 20010827

OTHER SOURCE(S): MARPAT 135:288343  
 GI



AB The present invention describes novel nitrosated and/or nitrosylated nonsteroidal antiinflammatory compds., and novel compns. comprising at least one nitrosated and/or nitrosylated nonsteroidal antiinflammatory compound, and, optionally, at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase. The present invention also provides methods for treating, preventing and/or reducing inflammation, pain, and fever; decreasing or reversing the gastrointestinal, renal and

other toxicities resulting from the use of nonsteroidal antiinflammatory drugs; treating and/or preventing gastrointestinal disorders; treating inflammatory disease states and disorders; and treating and/or preventing ophthalmic diseases or disorders.

Thus, I was prepared in 8 steps from cyclohexanecarboxaldehyde and shows a relative activity of 1, 1.2 and 0.02 in analgesic, antiinflammatory and gastric lesion tests.

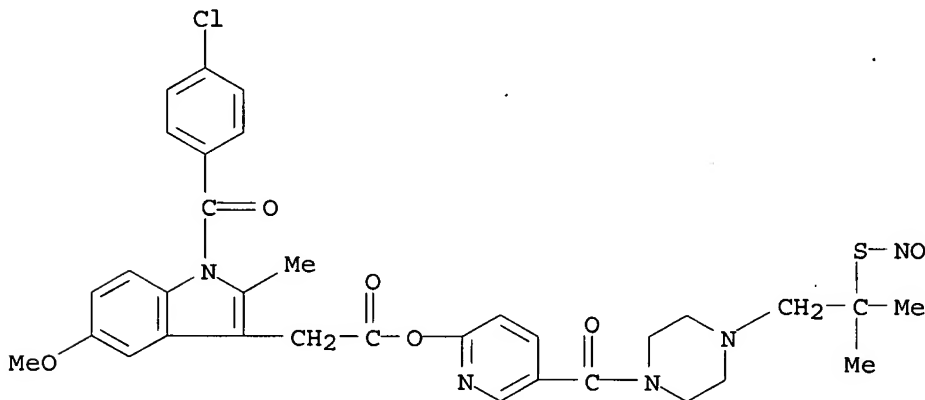
IT 364590-30-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and activity of nitrosated and nitrosylated nonsteroidal antiinflammatory compds.)

RN 364590-30-7 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 5-[[4-[2-methyl-2-(nitrosothio)propyl]-1-piperazinyl]carbonyl]-2-pyridinyl ester (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:314687 HCAPLUS

DOCUMENT NUMBER: 132:334454

TITLE: Preparation of 2-amino-thiazole derivatives as antitumor agents

INVENTOR(S): Pevarello, Paolo; Amici, Raffaella; Traquandi, Gabriella; Villa, Manuela; Vulpetti, Anna; Isacchi, Antonella

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

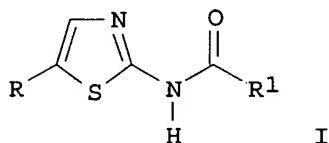
PATENT INFORMATION:

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AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2347188	A1	20000511	CA 1999-2347188	19991027 <--
AU 200012679	A	20000522	AU 2000-12679	19991027 <--
AU 766193	B2	20031009		
EP 1124810	A1	20010822	EP 1999-955931	19991027 <--
EP 1124810	B1	20050504		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9914958	A	20011218	BR 1999-14958	19991027 <--
HU 2001004200	A2	20020328	HU 2001-4200	19991027 <--
HU 2001004200	A3	20031229		
JP 2002528537	T	20020903	JP 2000-579591	19991027 <--
NZ 510965	A	20031031	NZ 1999-510965	19991027 <--
TW 222447	B	20041021	TW 1999-88118558	19991027
AT 294785	T	20050515	AT 1999-955931	19991027
PT 1124810	T	20050930	PT 1999-955931	19991027
ES 2241338	T3	20051016	ES 1999-955931	19991027
ZA 2001002870	A	20011010	ZA 2001-2870	20010406 <--
NO 2001002057	A	20010628	NO 2001-2057	20010426 <--
US 7037929	B1	20060502	US 2001-807962	20010426 <--
MX 2001PA04278	A	20020621	MX 2001-PA4278	20010427 <--
IN 2001CN00744	A	20050304	IN 2001-CN744	20010528
AU 2004200096	A1	20040205	AU 2004-200096	20040109
PRIORITY APPLN. INFO.:			GB 1998-23871	A 19981030
			US 1998-823871	A 19981030
			AU 2000-12679	A 19991027
			WO 1999-EP8306	W 19991027

OTHER SOURCE(S): MARPAT 132:334454  
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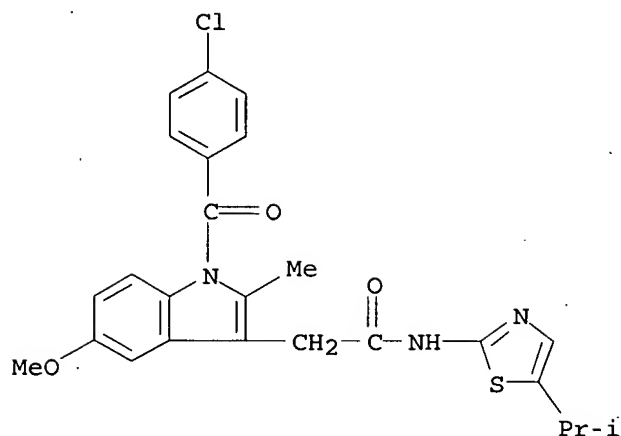
AB The title compds. [I; R = halo, NO<sub>2</sub>, (un)substituted amino NH<sub>2</sub>, etc.; R<sub>1</sub> = alkyl, alkenyl, 3-6 membered carbocycle, etc.], useful for treating cell proliferative disorders associated with an altered cell dependent kinase activity such as cancer, Alzheimer's disease, viral infections, autoimmune diseases or neurodegenerative disorders, were prepared E.g., thiazole I [R = iso-Pr; R<sub>1</sub> = 4-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>] showed K<sub>i</sub> of 0.1 μM against cdk2/cyclin A complex.

IT 267656-89-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-amino-thiazole derivs. as antitumor agents)

RN 267656-89-3 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[5-(1-methylethyl)-2-thiazolyl]- (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:639224 HCAPLUS

DOCUMENT NUMBER: 93:239224

ORIGINAL REFERENCE NO.: 93:38315a,38318a

TITLE: Pharmaceutical 1-(p-chlorobenzoyl)-5-methoxy-2-methylindole-3-acet-3-oxy-1-isobenzofuranyl esters

INVENTOR(S): Vandoni, Guido

PATENT ASSIGNEE(S): Resfar S.r.l., Italy

SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

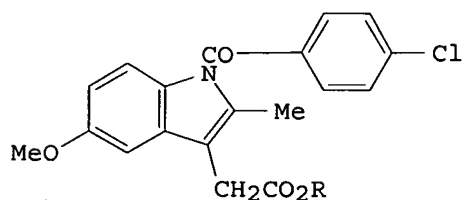
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3005827	A1	19800904	DE 1980-3005827	19800216 <--
US 4277489	A	19810707	US 1980-119332	19800207 <--
JP 55113778	A	19800902	JP 1980-19817	19800221 <--
JP 59051954	B	19841217		
FR 2449686	A1	19800919	FR 1980-3865	19800221 <--
FR 2449686	B1	19860425		
PRIORITY APPLN. INFO.: GI			IT 1979-20398	A 19790221



I

AB Indole I (R = phthalidyl) (II) was prepared by treating I (R = H) with bromophthalide in Et<sub>3</sub>N/CHCl<sub>3</sub>. Tests showed that II had higher

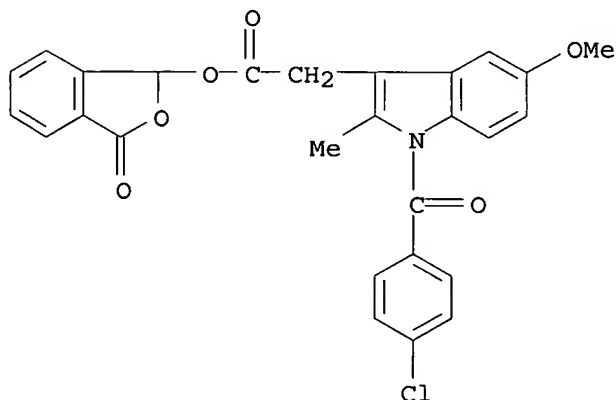
antiphlogistic and analgesic activities than I (R = H), with lower ulcerogenic activity.

IT 67489-39-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and analgesic and antiinflammatory activity of)

RN 67489-39-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 1,3-dihydro-3-oxo-1-isobenzofuranyl ester (CA INDEX NAME)



L22 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1970:78871 HCAPLUS

DOCUMENT NUMBER: 72:78871

ORIGINAL REFERENCE NO.: 72:14361a,14364a

TITLE: 1-(p-Chlorobenzoyl)-2-formyl-3-indolyl acetic acids

INVENTOR(S): Chemerda, John M.; Sletztzinger, Meyer

PATENT ASSIGNEE(S): Merck and Co., Inc.

SOURCE: U.S., 3 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3489765	A	19700113	US 1967-656008	19670726 <--
PRIORITY APPLN. INFO.:			US 1967-656008	A 19670726

GI For diagram(s), see printed CA Issue.

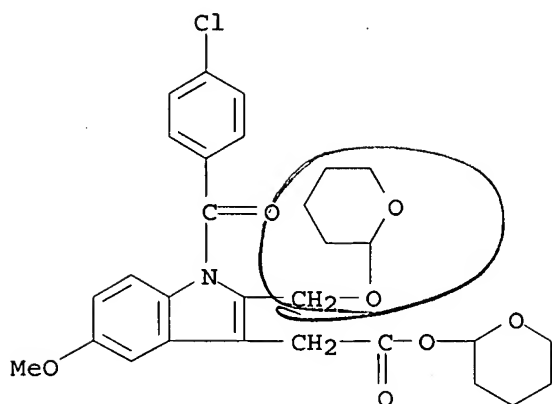
AB Comps. (I) were prepared by treating II with N<sub>2</sub>H<sub>4</sub> or NH<sub>2</sub>CONHNH<sub>2</sub> and reducing the hydrazone or semicarbazone. Thus 3.72 g II (R = MeO) and 0.64 g NH<sub>2</sub>NH<sub>2</sub> gave 4.19 g hydrazone, which was reduced with Me<sub>3</sub>COK-Me<sub>2</sub>SO to give I (R = OMe). Similarly prepared was I (R = Me<sub>2</sub>N).

IT 25998-02-1P 26129-01-1P

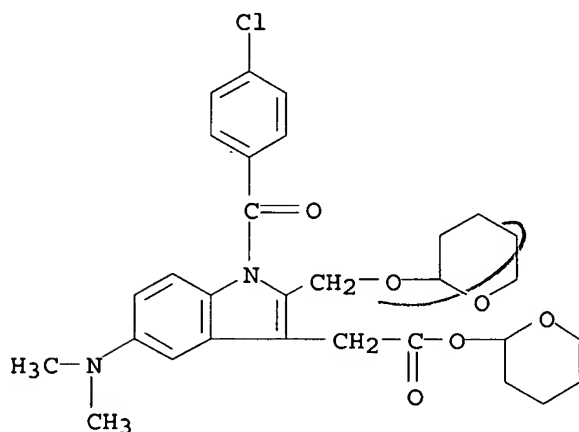
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 25998-02-1 HCAPLUS

CN Indole-3-acetic acid, 1-(p-chlorobenzoyl)-5-methoxy-2-[[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-, tetrahydro-2H-pyran-2-yl ester (8CI) (CA INDEX NAME)



RN 26129-01-1 HCAPLUS  
 CN Indole-3-acetic acid, 1-(p-chlorobenzoyl)-5-(dimethylamino)-2-  
 [[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-, tetrahydro-2H-pyran-2-yl ester  
 (8CI) (CA INDEX NAME)



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L23 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:377132 HCAPLUS

DOCUMENT NUMBER: 138:367144

TITLE: Soluble CD40L (CD154) as a prognostic marker of atherosclerotic diseases

INVENTOR(S): Schoenbeck, Uwe; Ridker, Paul M.; Libby, Peter

PATENT ASSIGNEE(S): The Brigham and Women's Hospital, Inc., USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

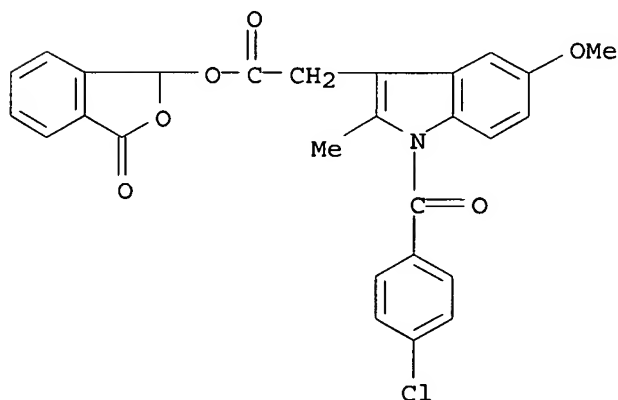
KIND

DATE

APPLICATION NO.

DATE

WO 2003040691 A2 20030515 WO 2002-US35505 20021105 <--  
 WO 2003040691 A3 20031113  
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 CA 2464531 A1 20030515 CA 2002-2464531 20021105 <--  
 AU 2002343620 A1 20030519 AU 2002-343620 20021105 <--  
 US 2003152566 A1 20030814 US 2002-288253 20021105 <--  
 US 7189518 B2 20070313  
 EP 1451577 A2 20040901 EP 2002-780578 20021105  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 CN 1613012 A 20050504 CN 2002-826711 20021105  
 JP 2005515407 T 20050526 JP 2003-542897 20021105  
 PRIORITY APPLN. INFO.: US 2001-338841P P 20011105  
 WO 2002-US35505 W 20021105  
 AB The invention involves the new use of a diagnostic test to determine the risk of atherosclerotic diseases, e.g. myocardial infarction and stroke, particularly among individuals with no signs or symptoms of current disease and among nonsmokers. Further, the invention involves the new use of a diagnostic test to assist physicians in determining which individuals at risk will preferentially benefit from certain treatments designed either to prevent first or recurrent myocardial infarctions and strokes, or to treat acute and chronic cardiovascular disorders. Methods for treatment are also described.  
 IT 67489-39-8, Talmetacin  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (soluble CD40L as prognostic marker of atherosclerotic diseases, and use in therapeutic agent assessment)  
 RN 67489-39-8 HCAPLUS  
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 1,3-dihydro-3-oxo-1-isobenzofuranyl ester (CA INDEX NAME)



=&gt; d 124 ibib abs hitstr tot

L24 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:374223 HCAPLUS

DOCUMENT NUMBER: 144:412501

TITLE: Preparation of 3(5)-acylaminopyrazole derivatives for use as therapeutic agents, particularly antitumor agents

INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella  
PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy; Pharmacia & Upjohn Company LLC

SOURCE: U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 372,831, abandoned.

CODEN: USXXAM

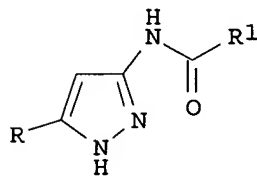
DOCUMENT TYPE: Patent

LANGUAGE: English

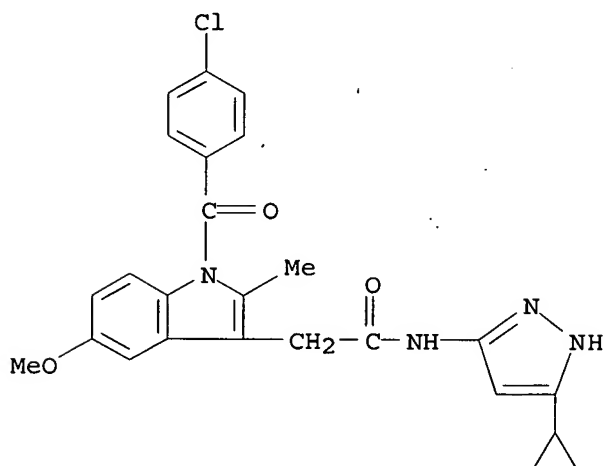
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>US 7034049</u>	B1	20060425	US 2002-48486	20020501 <--
WO 2001012189	A1	20010222	WO 2000-US6699	20000505 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
<u>US 6218418</u>	B1	20010417	US 2000-667603	20000922 <--
PRIORITY APPLN. INFO.:			US 1999-372831	B2 19990812
			WO 2000-US6699	W 20000505
			US 2000-560400	A1 20000428

OTHER SOURCE(S): MARPAT 144:412501  
GI

AB Compds. (e.g., N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) which are 3-amino-pyrazole derivs. represented by formula I (wherein R = C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 = a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted) are claimed. A process for preparing the 3-aminopyrazole derivs. comprises: (a) reacting RCO2R2 (R2 = alkyl), with



L24 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2003:377132 HCAPLUS  
DOCUMENT NUMBER: 138:367144  
TITLE: Soluble CD40L (CD154) as a prognostic marker of  
atherosclerotic diseases  
INVENTOR(S): Schoenbeck, Uwe; Ridker, Paul M.; Libby, Peter  
PATENT ASSIGNEE(S): The Brigham and Women's Hospital, Inc., USA  
SOURCE: PCT Int. Appl., 66 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

Page 91

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WO 2003040691      A3      20031113
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US 2003152566      A1      20030814      US 2002-288253      20021105 <--
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EP 1451577          A2      20040901      EP 2002-780578      20021105
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
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JP 2005515407      T      20050526      JP 2003-542897      20021105
PRIORITY APPLN. INFO.:
US 2001-338841P      P      20011105
WO 2002-US35505      W      20021105

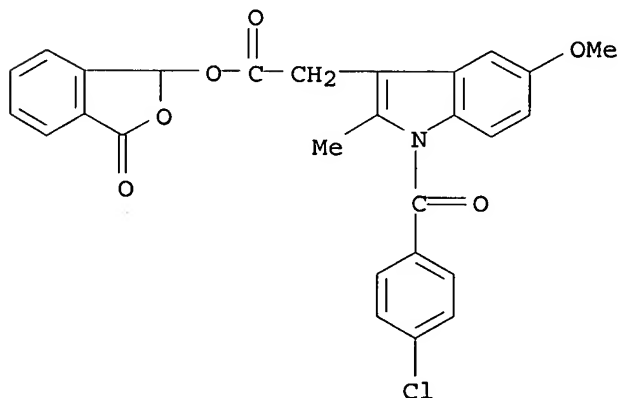
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AB The invention involves the new use of a diagnostic test to determine the risk of atherosclerotic diseases, e.g. myocardial infarction and stroke, particularly among individuals with no signs or symptoms of current disease and among nonsmokers. Further, the invention involves the new use of a diagnostic test to assist physicians in determining which individuals at risk will preferentially benefit from certain treatments designed either to prevent first or recurrent myocardial infarctions and strokes, or to treat acute and chronic cardiovascular disorders. Methods for treatment are also described.

IT 67489-39-8, Talmetacin  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (soluble CD40L as prognostic marker of atherosclerotic diseases, and use in therapeutic agent assessment)

RN 67489-39-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 1,3-dihydro-3-oxo-1-isobenzofuranyl ester (CA INDEX NAME)



L24 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:780679 HCAPLUS  
 DOCUMENT NUMBER: 135:327362  
 TITLE: Nonsteroidal antiinflammatory drug (NSAID) and NSAID derivative amyloid A $\beta$ 42 polypeptide-lowering agents for the treatment of Alzheimer's disease, and screening methods  
 INVENTOR(S): Koo, Edward Hao Mang; Golde, Todd Eliot; Galasko, Douglas Roger  
 PATENT ASSIGNEE(S): Mayo Foundation for Medical Education and Research, USA  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001078721	A1	20011025	WO 2001-US11956	20010412 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2406383	A1	20011025	CA 2001-2406383	20010412 <--
AU 200157022	A	20011030	AU 2001-57022	20010412 <--
EP 1284729	A1	20030226	EP 2001-930491	20010412 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003530437	T	20031014	JP 2001-576021	20010412 <--
US 2002128319	A1	20020912	US 2001-12606	20011207 <--
US 6911466	B2	20050628		
US 2005089945	A1	20050428	US 2004-928925	20040827 <--
US 7097998	B2	20060829		
US 2005186559	A1	20050825	US 2005-113789	20050425 <--
AU 2005201819	A1	20050519	AU 2005-201819	20050429
AU 2005201819	B2	20070712		
US 2006004086	A1	20060105	US 2005-170776	20050628 <--
US 2007253905	A1	20071101	US 2007-740791	20070426 <--
US 2007253906	A1	20071101	US 2007-740800	20070426 <--
AU 2007224395	A1	20071101	AU 2007-224395	20071011
PRIORITY APPLN. INFO.:				
			US 2000-196617P	P 20000413
			AU 2001-257022	A3 20010412
			WO 2001-US11956	W 20010412
			US 2001-12606	A3 20011207
			US 2005-113789	A1 20050425
			AU 2005-201819	A3 20050429
AB	A method is provided for preventing, delaying, or reversing the progression of Alzheimer's disease by administering an A $\beta$ 42-lowering agent to a mammal under conditions in which levels of A $\beta$ 42 are selectively reduced, levels of A $\beta$ 38 are increased, and levels of A $\beta$ 40 are unchanged. The invention provides methods and materials for developing and identifying A $\beta$ 42-lowering agents. In addition, the invention provides methods for identifying agents that increase the risk			

of developing, or hasten progression of, Alzheimer's disease. The invention also provides compns. of A $\beta$ 42-lowering agents and antioxidants, A $\beta$ 42 lowering agents and non-selective secretase inhibitors, and A $\beta$ 42 lowering agents and acetylcholinesterase inhibitors. The invention further provides kits containing A $\beta$ 42-lowering agents, antioxidants, non-selective secretase inhibitors, and/or acetylcholinesterase inhibitors as well as instructions related to dose regimens for A $\beta$ 42-lowering agents, antioxidants, non-selective secretase inhibitors, and acetylcholinesterase inhibitors. The agents of the invention include nonsteroidal antiinflammatory drugs (NSAIDs) and NSAID derivs.

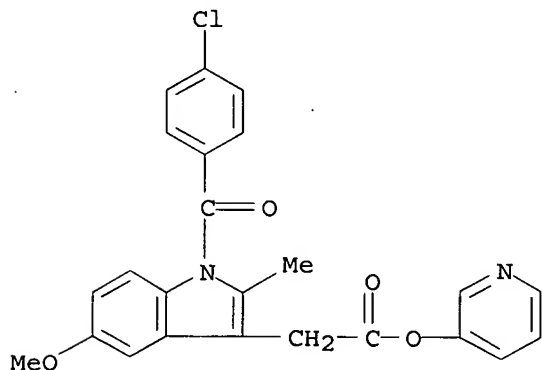
IT 80590-83-6 261766-29-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NSAID and NSAID derivative amyloid A $\beta$ 42 polypeptide-lowering agents for treatment of Alzheimer's disease, and screening methods)

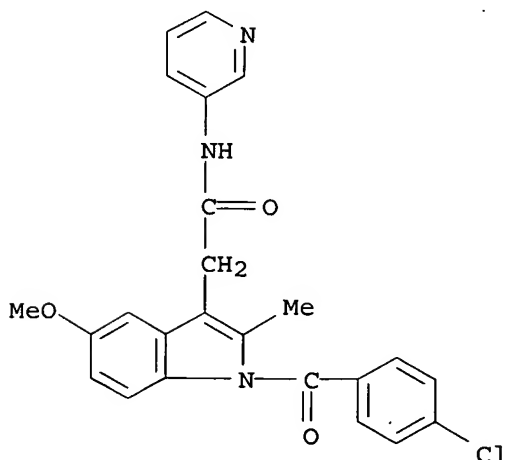
RN 80590-83-6 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 3-pyridinyl ester (CA INDEX NAME)



RN 261766-29-4 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-3-pyridinyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:721438 HCAPLUS

DOCUMENT NUMBER: 135:288343

TITLE: Preparation and activity of nitrosated and nitrosylated nonsteroidal antiinflammatory compounds

INVENTOR(S): Bandarage, Upul K.; Dong, Qing; Fang, Xinqin; Garvey, David S.; Mercer, Gregory J.; Richardson, Stewart K.; Schroeder, Joseph D.; Wang, Tiansheng

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 182,433, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

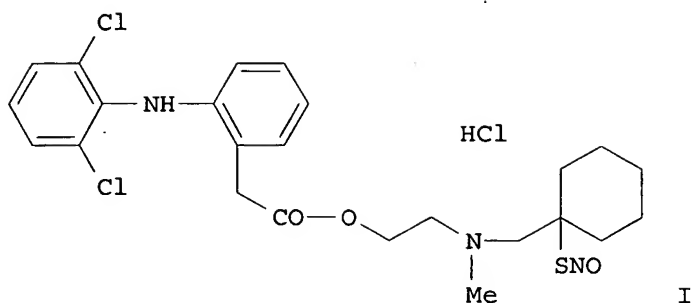
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6297260	B1	20011002	US 1999-429019	19991029 <--
CA 2348741	A1	20000511	CA 1999-2348741	19991029 <--
WO 2000025776	A1	20000511	WO 1999-US25481	19991029 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1126838	A1	20010829	EP 1999-958708	19991029 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528495	T	20020903	JP 2000-579217	19991029 <--
AU 763000	B2	20030710	AU 2000-16012	19991029 <--
US 2002016322	A1	20020207	US 2001-938560	20010827 <--
US 6593347	B2	20030715		
US 2003207919	A1	20031106	US 2003-431457	20030508 <--
AU 2004200091	A1	20040205	AU 2004-200091	20040109
PRIORITY APPLN. INFO.:			US 1998-182433	B2 19981030
			AU 2000-16012	A 19991029
			US 1999-429019	A3 19991029
			WO 1999-US25481	W 19991029
			US 2001-938560	A3 20010827

OTHER SOURCE(S): MARPAT 135:288343  
GI



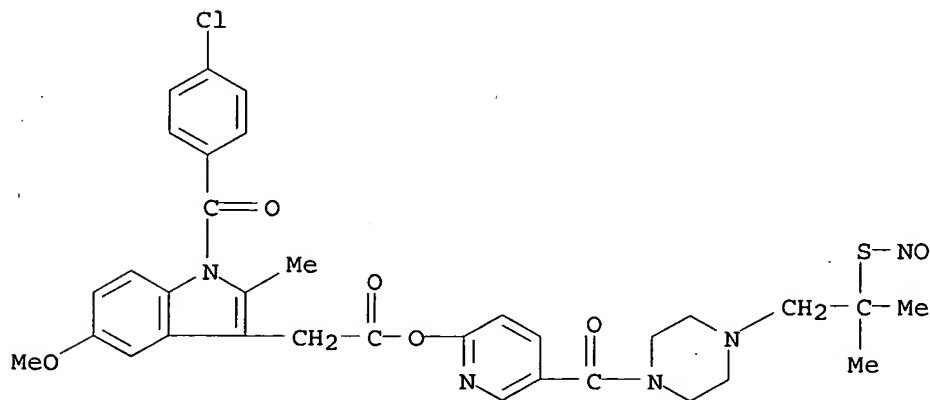
AB The present invention describes novel nitrosated and/or nitrosylated nonsteroidal antiinflammatory compds., and novel compns. comprising at least one nitrosated and/or nitrosylated nonsteroidal antiinflammatory compound, and, optionally, at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase. The present invention also provides methods for treating, preventing and/or reducing inflammation, pain, and fever; decreasing or reversing the gastrointestinal, renal and other toxicities resulting from the use of nonsteroidal antiinflammatory drugs; treating and/or preventing gastrointestinal disorders; treating inflammatory disease states and disorders; and treating and/or preventing ophthalmic diseases or disorders. Thus, I was prepared in 8 steps from cyclohexanecarboxaldehyde and shows a relative activity of 1, 1.2 and 0.02 in analgesic, antiinflammatory and gastric lesion tests.

IT 364590-30-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and activity of nitrosated and nitrosylated nonsteroidal antiinflammatory compds.)

RN 364590-30-7 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 5-[4-[2-methyl-2-(nitrosothio)propyl]-1-piperazinyl]carbonyl]-2-pyridinyl ester (CA INDEX NAME)



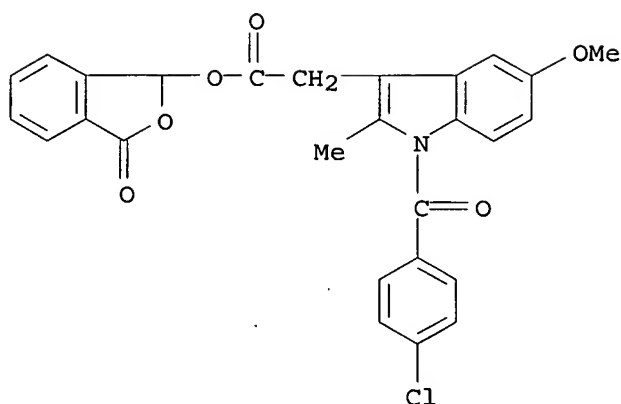
REFERENCE COUNT:

63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:167849 HCAPLUS  
 DOCUMENT NUMBER: 134:217194  
 TITLE: Systemic inflammatory markers as diagnostic tools in the prevention of atherosclerotic diseases  
 INVENTOR(S): Ridker, Paul; Hennekens, Charles H.  
 PATENT ASSIGNEE(S): The Brigham and Women's Hospital, Inc., USA  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001015744	A1	20010308	WO 2000-US24251	20000831 <--
WO 2001015744	A9	20020926		
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 7030152	B1	20060418	US 1999-387028	19990831 <--
CA 2381926	A1	20010308	CA 2000-2381926	20000831 <--
AU 200071103	A	20010326	AU 2000-71103	20000831 <--
AU 782386	B2	20050721		
EP 1212101	A1	20020612	EP 2000-959851	20000831 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 2003508453	T	20030304	JP 2001-520155	20000831 <--
AU 2005225101	A1	20051117	AU 2005-225101	20051021
PRIORITY APPLN. INFO.:				
			US 1999-387028	A 19990831
			US 1997-41950P	P 19970402
			US 1997-43039P	P 19970402
			US 1998-70894P	P 19980109
			US 1998-54212	A2 19980402
			WO 2000-US24251	W 20000831
AB	The invention involves methods for characterizing an individual's risk profile of developing a future cardiovascular disorder such as atherosclerosis, stroke, and myocardial infarction by assessing the level of systemic inflammation marker (such as sICAM or C-reactive protein) in an individual. The invention also involves methods for evaluating the likelihood that an individual will benefit from treatment with an agent for reducing the risk of future cardiovascular disorders; and of drug combinations (anti-inflammatory agents, lipid-reducing agents, angiotensin system inhibitors, calcium channel blockers, $\beta$ -adrenergic receptor blockers) suitable for prevention future cardiovascular disease.			
IT	67489-39-8, Talmetacin			
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(use of agents and systemic inflammatory markers to predict and inhibit cardiovascular disorders in humans)			
RN	67489-39-8 HCAPLUS			
CN	1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 1,3-dihydro-3-oxo-1-isobenzofuranyl ester (CA INDEX NAME)			



REFERENCE COUNT: 13 THERE ARE 13. CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:137023 HCAPLUS

DOCUMENT NUMBER: 134:178552

TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents

INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

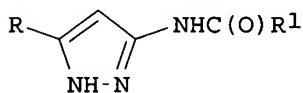
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012189	A1	20010222	WO 2000-US6699	20000505 <--
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2383555	A1	20010222	CA 2000-2383555	20000505 <--
AU 200049714	A	20010313	AU 2000-49714	20000505 <--
EP 1202733	A1	20020508	EP 2000-931906	20000505 <--
EP 1202733	B1	20051005		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
BR 2000013143	A	20020611	BR 2000-13143	20000505 <--
JP 2003507329	T	20030225	JP 2001-516535	20000505 <--
EE 200200065	A	20030415	EE 2002-65	20000505 <--
HU 2002003542	A2	20030528	HU 2002-3542	20000505 <--
NZ 517237	A	20040227	NZ 2000-517237	20000505
AT 305782	T	20051015	AT 2000-931906	20000505

ES 2249270	T3	20060401	ES 2000-931906	20000505
US 6218418	B1	20010417	US 2000-667603	20000922 <--
NO 2002000684	A	20020403	NO 2002-684	20020211 <--
HR 2002000128	A1	20030430	HR 2002-128	20020212 <--
MX 2002PA01498	A	20030721	MX 2002-PA1498	20020212 <--
ZA 2002001511	A	20030311	ZA 2002-1511	20020222 <--
BG 106480	A	20020930	BG 2002-106480	20020305 <--
US 7034049	B1	20060425	US 2002-48486	20020501 <--
PRIORITY APPLN. INFO.:			US 1999-372831	A 19990812
			US 2000-560400	A1 20000428
			WO 2000-US6699	W 20000505

OTHER SOURCE(S): MARPAT 134:178552

GI



AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO<sub>2</sub>R<sub>2</sub> (R<sub>2</sub> = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH<sub>2</sub>CN; (b) reacting RC(O)CH<sub>2</sub>CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc<sub>2</sub>O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog; (f) reacting this amino compound with R<sub>1</sub>C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of preparation are also claimed.

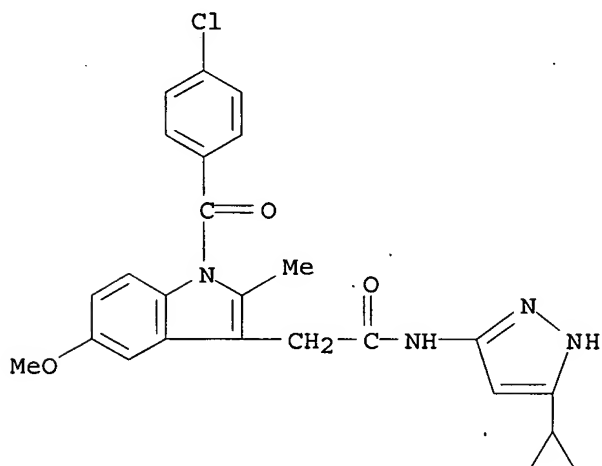
IT 326824-45-7P, 2-[1-(4-Chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (acylaminopyrazole derivs., process for preparation and use as antitumor agents)

RN 326824-45-7 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)-5-methoxy-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:314687 HCAPLUS

DOCUMENT NUMBER: 132:334454

TITLE: Preparation of 2-amino-thiazole derivatives as antitumor agents

INVENTOR(S): Pevarello, Paolo; Amici, Raffaella; Traquandi, Gabriella; Villa, Manuela; Vulpetti, Anna; Isacchi, Antonella

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

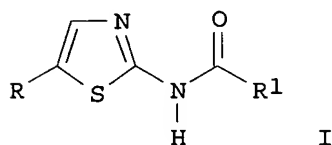
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026202	A1	20000511	WO 1999-EP8306	19991027 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347188	A1	20000511	CA 1999-2347188	19991027 <--
AU 200012679	A	20000522	AU 2000-12679	19991027 <--
AU 766193	B2	20031009		
EP 1124810	A1	20010822	EP 1999-955931	19991027 <--

EP 1124810 B1 20050504  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

BR 9914958	A	20011218	BR 1999-14958	19991027 <--
HU 2001004200	A2	20020328	HU 2001-4200	19991027 <--
HU 2001004200	A3	20031229		
JP 2002528537	T	20020903	JP 2000-579591	19991027 <--
NZ 510965	A	20031031	NZ 1999-510965	19991027 <--
TW 222447	B	20041021	TW 1999-88118558	19991027
AT 294785	T	20050515	AT 1999-955931	19991027
PT 1124810	T	20050930	PT 1999-955931	19991027
ES 2241338	T3	20051016	ES 1999-955931	19991027
ZA 2001002870	A	20011010	ZA 2001-2870	20010406 <--
NO 2001002057	A	20010628	NO 2001-2057	20010426 <--
US 7037929	B1	20060502	US 2001-807962	20010426 <--
MX 2001PA04278	A	20020621	MX 2001-PA4278	20010427 <--
IN 2001CN00744	A	20050304	IN 2001-CN744	20010528
AU 2004200096	A1	20040205	AU 2004-200096	20040109
PRIORITY APPLN. INFO.:			GB 1998-23871	A 19981030
			US 1998-823871	A 19981030
			AU 2000-12679	A 19991027
			WO 1999-EP8306	W 19991027

OTHER SOURCE(S): MARPAT 132:334454  
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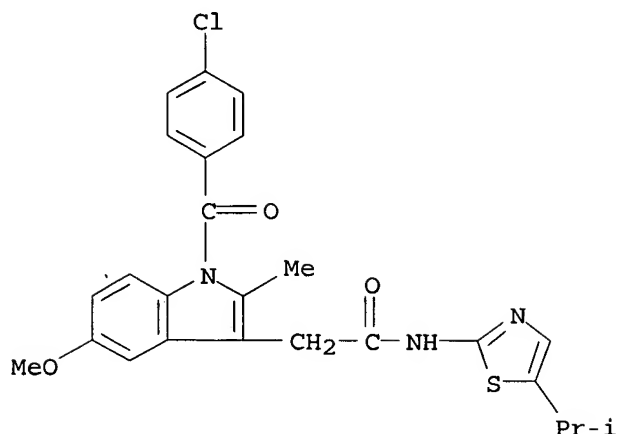


AB The title compds. [I; R = halo, NO<sub>2</sub>, (un)substituted amino NH<sub>2</sub>, etc.; R<sub>1</sub> = alkyl, alkenyl, 3-6 membered carbocycle, etc.], useful for treating cell proliferative disorders associated with an altered cell dependent kinase activity such as cancer, Alzheimer's disease, viral infections, autoimmune diseases or neurodegenerative disorders, were prepared E.g., thiazole I [R = iso-Pr; R<sub>1</sub> = 4-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>]. showed Ki of 0.1 μM against cdk2/cyclin A complex.

IT 267656-89-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-amino-thiazole derivs. as antitumor agents)

RN 267656-89-3 HCAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[5-(1-methylethyl)-2-thiazolyl]- (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:858705 HCAPLUS

DOCUMENT NUMBER: 123:266118

TITLE: Codrugs as a method of controlled drug delivery

INVENTOR(S): Ashton, Paul; Crooks, Peter Anthony; Riggs, Robert Mack; Cynkowski, Tadeusz; Cynkowska, Grazyna

PATENT ASSIGNEE(S): University of Kentucky Research Foundation, USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520567	A1	19950803	WO 1994-US1659	19940217 <--
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2182228	A1	19950803	CA 1994-2182228	19940217 <--
AU 9462545	A	19950815	AU 1994-62545	19940217 <--
AU 705226	B2	19990520		
EP 740650	A1	19961106	EP 1994-909643	19940217 <--
EP 740650	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509151	T	19970916	JP 1994-520023	19940217 <--
AT 267798	T	20040615	AT 1994-909643	19940217
PT 740650	T	20041029	PT 1994-909643	19940217
ES 222455	T3	20050201	ES 1994-909643	19940217
US 6051576	A	20000418	US 1997-791071	19970129 <--
PRIORITY APPLN. INFO.:				
			US 1994-187462	A 19940128
			WO 1994-US1659	W 19940217
			US 1995-388855	B1 19950215

AB A codrug composition of at least two drug compds. covalently linked to one another via a labile bond to form a single codrug composition, and methods of use of the codrug for the treatment of various medical conditions are disclosed. The codrug may be administered by itself or as a bioerodible or nonbioerodible dosage form, such as injection, liposome, suspension, microsphere, nanoparticle, ointment, transdermal patch, etc.

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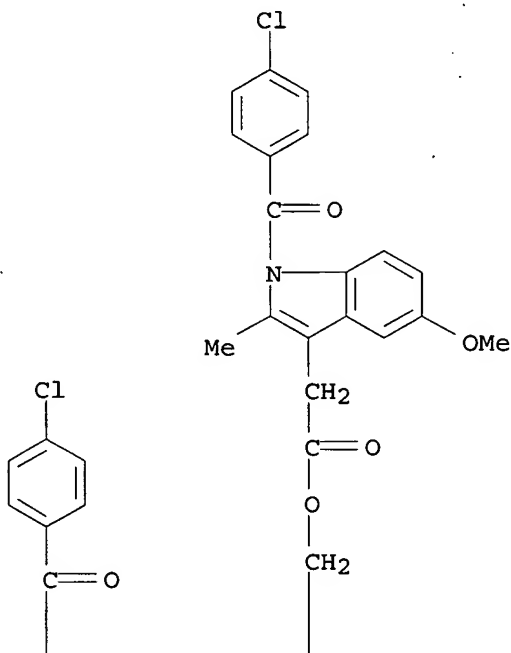
IT 169046-88-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(codrug comps. for controlled drug delivery)

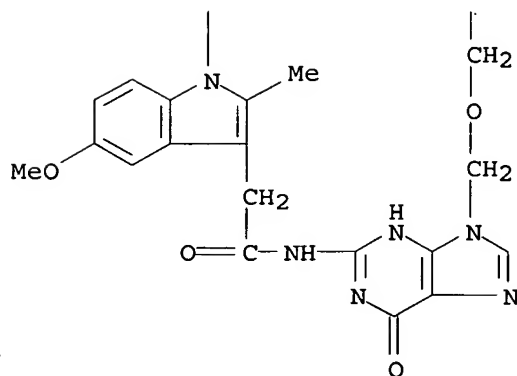
RN 169046-88-2 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-,  
2-[[2-[[[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]amino]-1,6-dihydro-6-oxo-9H-purin-9-yl]methoxy]ethyl ester (9CI)  
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A



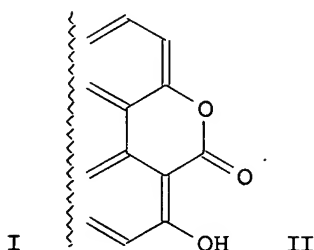
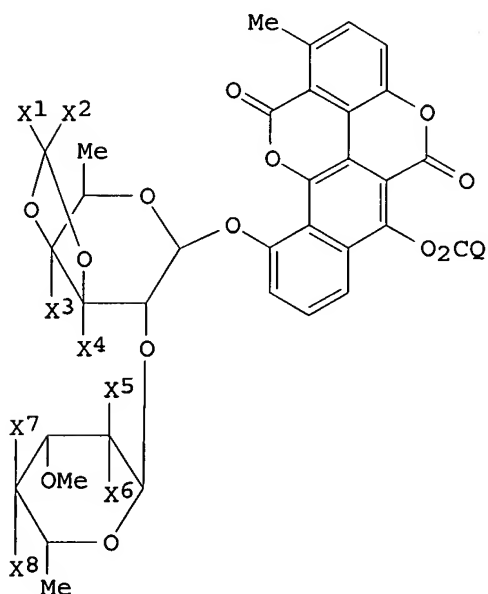
L24 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1990:139733 HCAPLUS

01/07/2008

Page 103

DOCUMENT NUMBER: 112:139733  
 TITLE: Preparation of chartreusin derivatives as antitumor agent and pharmaceutical compositions containing them  
 INVENTOR(S): Yamada, Nobutoshi; Sugi, Hideo; Kon, Kenji  
 PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 84 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 219852	A2	19870429	EP 1986-114562	19861021 <--
EP 219852	A3	19871125		
EP 219852	B1	19920205		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AT 72447	T	19920215	AT 1986-114562	19861021 <--
JP 62174096	A	19870730	JP 1986-251154	19861022 <--
CA 1294614	C	19920121	CA 1986-521101	19861022 <--
US 4927919	A	19900522	US 1986-922433	19861023 <--
US 5064945	A	19911112	US 1989-427370	19891027 <--
PRIORITY APPLN. INFO.:			JP 1985-236833	A 19851023
			EP 1986-114562	A 19861021
			US 1986-922433	A3 19861023
OTHER SOURCE(S):			CASREACT 112:139733; MARPAT 112:139733	
GI				



AB The title compds. [I; X1 = H, (substituted) alkyl; X2 = (substituted) alkyl, (substituted) alkylcarbonylalkyl, etc.; X3, X4 = H, Me; X5 = H, OH, NH2; X6 = H, OH; or X5X6 = O; X7, X8 = H, OH; Q = (substituted) alkyl, (substituted) alkenyl, aminoalkyl, etc.], useful as antitumor agents, are

prepared via reacting alcs. II (X1-X8 same as defined above) with a reactive derivative of HO2CQ (Q as defined above) in the presence of a condensing agent. Chartreusin was condensed with PhCH(OMe)<sub>2</sub> in CHCl<sub>3</sub> containing p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H and mol. sieve A 1/16 to give II (X1 = Ph, X2 = X3 = X4 = X6 = X7 = H, X5 = X8 = OH). This was then condensed with 2-thiophenecarboxylic acid in the presence of DCC to give I (X1 = Ph, X2 = X4 = X6 = X7 = H, X5 = X8 = OH, O = 2-thienylcarbonyl) (III). III at 60 mg/kg i.p. 3 times a day showed 41% increase in mean survival time over the control in mice implanted with B-16 melanoma.

IT 123938-10-3P

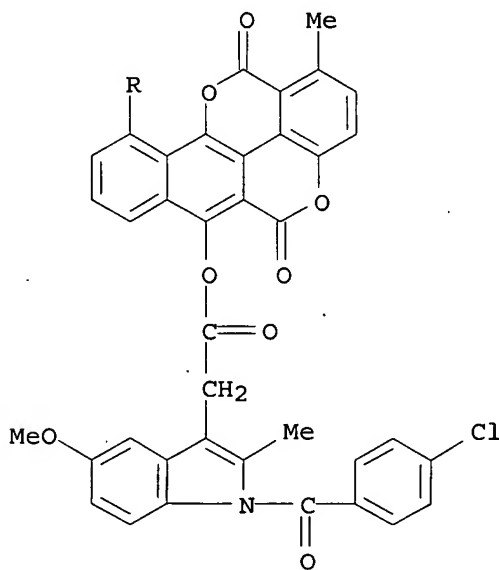
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

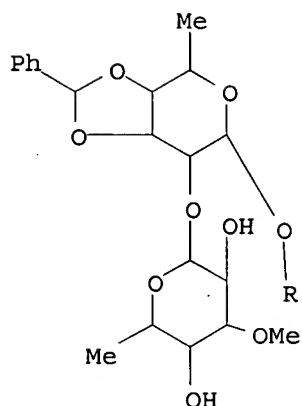
(preparation of, as antitumor agent)

RN 123938-10-3 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 10-[[6-deoxy-2-O-(6-deoxy-3-O-methyl- $\alpha$ -D-galactopyranosyl)-3,4-O-(phenylmethylene)- $\beta$ -D-galactopyranosyl]oxy]-5,12-dihydro-1-methyl-5,12-dioxobenzo[h][1]benzopyrano[5,4,3-cde][1]benzopyran-6-yl ester, (R)-(9CI) (CA INDEX NAME)

PAGE 1-A





L24 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:462004 HCAPLUS

DOCUMENT NUMBER: 63:62004

ORIGINAL REFERENCE NO.: 63:11263h,11264a-d

TITLE: Indomethacin antiinflammatory drug

INVENTOR(S): Harman, Robert E.; Kuehl, Frederick A., Jr.; Strachan, Robert G.; Hirschmann, Ralph F.

PATENT ASSIGNEE(S): Merck &amp; Co., Inc.

SOURCE: 12 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 650447		19650111	BE 1965-447	19640710 <--
US 3285935		19661115	US 1963-296111	19630718 <--
PRIORITY APPLN. INFO.:			US	19630718

OTHER SOURCE(S): MARPAT 63:62004

AB Creams and ointments of prolonged action for topical treatment of inflammations are made with the glucuronide (I) of 1-(p-chlorobenzoyl)-2-methyl-5-methoxyindole-3-acetic acid (indomethacin) (II) in an aqueous vehicle or a lower alkyl ester of lower alkanoylated I (III) in an oily or fatty vehicle. Thus, an ointment contains I 1, beeswax 5, anhydrous lanolin 20, heavy mineral oil 5, and white vaseline 31%. Anti-inflammatory action comes from free II slowly liberated from I and III in body tissues by  $\beta$ -glucuronidase therein. Given systemically, I, II, and III are eliminated rapidly by the kidneys (Winter, et al., CA 60, 4660a; Harman, et al., CA 60, 15005c). I is obtained from urine of mammals to which II has been given orally. Thus, a total of 518.5 g. of II-2-14C was given to a rabbit orally with two 1-g. amts. of  $\text{NH}_4\text{Cl}$  intraperitoneally at 0 and 12 hrs. and urine of the first 24 hrs. was collected, brought to pH 5, nonconjugated products extracted with  $\text{C}_6\text{H}_6$ , the extracted urine brought to pH

2.0

and extracted with EtOAc.  $\text{H}_2\text{O}$  was added to the exts., EtOAc evaporated and the aqueous residue brought to pH 6.6, and lyophilized to a gum containing 80% of the

original radioactivity of the urine. Chromatography on paper with 2:1 MeOH- $\text{H}_2\text{O}$ : 1:1 BuOH- $\text{C}_6\text{H}_6$  revealed the presence of 5-methoxy-2-methylindole-

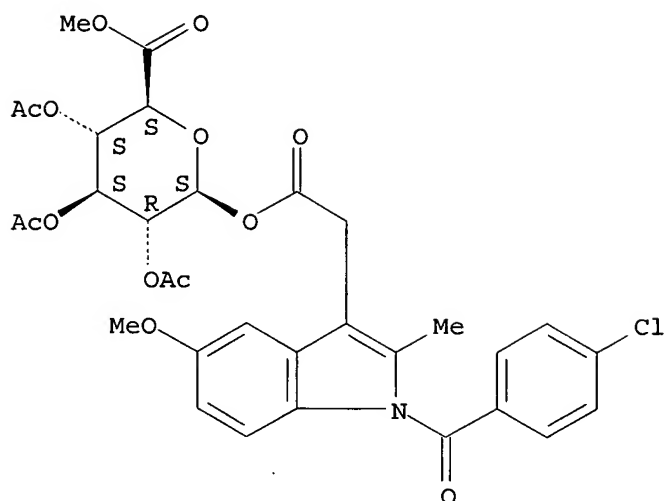
3-acetic acid (IV) and glucuronides of IV and II. Countercurrent distribution (in a 60 tube train of 30 cc. tubes) of the lyophilized residue in a mixture of 200 cc. aqueous 0.5M Na<sub>2</sub>HPO<sub>4</sub> and 200 cc. 0.5M NaH<sub>2</sub>PO<sub>4</sub> at pH 6.6 against 328 cc. EtOAc and 72 cc. sec-BuOH with 75 transfers of 3 cc. each of upper phase per cycle and using scintillation counting plus paper chromatography and uv spectra, located IV near the organic solvent end, the glucuronide of IV at tubes 0-3, and I near tube 29. Tubes 16-34 were combined, aqueous phase separated and brought to pH 2.2 with HCl, recombined with the organic phase and 3 extns. made with 15 cc. each of EtOAc. Organic solvent was evaporated and the residue dissolved in H<sub>2</sub>O and lyophilized to give 45.5 mg. of I containing 28% of the original radioactivity in the urine. A sample of I is converted 100% into II by glucuronidase. I was converted to the Me ester of the tri-O-acetylglucuronide of III (V) identical with V synthesized from the K salt (VI) of I and tri-O-acetyl- $\alpha$ -D-glucopyranosyl bromide Me uronate (VII). Thus, 5 g. III was suspended in 15 cc. MeOH and 32.8 cc. of 0.427 N K tert-butoxide in tert-BuOH was added dropwise, the solution was dried in vacuo, taken up in acetone, cooled, crystals filtered, washed, and dried to give 4.2 g. crystals of K salt of II (VIII). VIII (4 g.) was refluxed under N in acetone 2 hrs. with 4.1 g. VII, the solution concentrated to dryness, the residue dissolved in CH<sub>2</sub>Cl<sub>2</sub>, the solution extracted 3 times with saturated aqueous NaHCO<sub>3</sub>, dried over MgSO<sub>4</sub>, and the dry solution concentrated to a thick yellow oil. The oil was crystallized from ether-n-hexane mixture and gave 2.0 g. of V, m. 150-1°. V was also prepared from crude I from urine by treatment with CH<sub>2</sub>N<sub>2</sub> followed by acetylation with Ac<sub>2</sub>O.

IT 3264-72-0P, Glucuronic acid, methyl ester, triacetate, 1-[1-(p-chlorobenzoyl)-5-methoxy-2-methylindole-3-acetate 6886-06-2P, Indole-3-acetic acid, 1-(p-chlorobenzoyl)-5-methoxy-2-methyl-, 1-ester with glucuronic acid 7279-30-3P, Indole-3-acetic acid, 1-(p-chlorobenzoyl)-5-methoxy-2-methyl-, 1-ester with Me glucuronate triacetate  
 RL: PREP (Preparation)  
 (inflammation-inhibiting preparation containing)

RN 3264-72-0 HCAPLUS

CN Glucopyranuronic acid, methyl ester, 2,3,4-triacetate 1-[1-(p-chlorobenzoyl)-5-methoxy-2-methylindole-3-acetate],  $\beta$ -D- (8CI) (CA INDEX NAME)

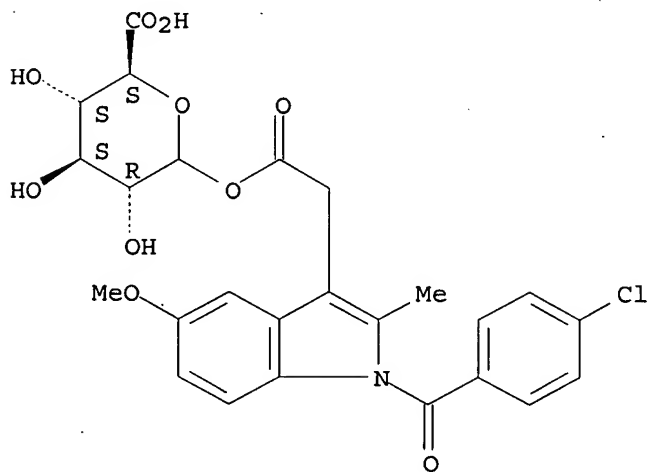
Absolute stereochemistry.



RN 6886-06-2 HCAPLUS

CN D-Glucopyranuronic acid, 1-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indole-3-acetate] (CA INDEX NAME)

Absolute stereochemistry.

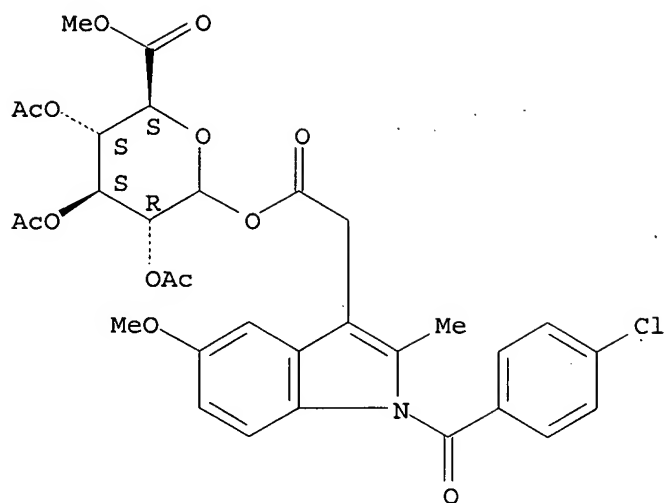


RN 7279-30-3 HCAPLUS

CN Indole-3-acetic acid, 1-(p-chlorobenzoyl)-5-methoxy-2-methyl-, 1-ester with methyl glucuronate triacetate (7CI, 8CI) (CA INDEX NAME)

Relative stereochemistry.

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COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE

ENTRY

138.59

SINCE FILE

ENTRY

-16.00

TOTAL

SESSION

857.76

TOTAL

SESSION

-16.00

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